Done by Bill Mercier
(can't get access to internet 1/20/04)

10/088,856

This one was a little un-manageable...
I had to limit this one down to 2 ring systems

```
=> d l3
L3 HAS NO ANSWERS
L3                STR
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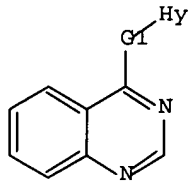

G1 O,S,N

Structure attributes must be viewed using STN Express query preparation.

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FULL SEARCH INITIATED 18:14:50 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  63849 TO ITERATE

100.0% PROCESSED   63849 ITERATIONS                          2005 ANSWERS
SEARCH TIME: 00.00.03

L11        2005 SEA SSS FUL L3

=> s l11 and 6/sz and 2/nrs
      14294096 6/SZ
       6039549 2/NRS
L12          70 L11 AND 6/SZ AND 2/NRS

=> file caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                             205.54     205.75

FILE 'CAPLUS' ENTERED AT 18:15:15 ON 20 JAN 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)
```

FILE COVERS 1907 - 20 Jan 2004 VOL 140 ISS 4
FILE LAST UPDATED: 19 Jan 2004 (20040119/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.

=> s l12
L13   18 L12

=> d ibib abs hitstr 1-18 tot

L13 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:931342 CAPLUS Full-text
DOCUMENT NUMBER: 140:791
TITLE: Treatment of fibroproliferative disorders using TGF-β inhibitors
INVENTOR(S): Chakravarty, Sarvajit; Dugar, Sundeep; Higgins, Linda S.; Kapoun, Ann M.; Liu, David Y.; Schreiner, George F.; Protter, Andrew A.; Tran, Thomas-Toan
PATENT ASSIGNEE(S): Scios, Inc., USA
SOURCE: PCT Int. Appl., 114 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003097615	A1	20031127	WO 2003-US15514	20030516

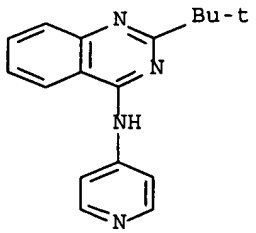
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-381720P P 20020517
US 2003-440428 A 20030516
OTHER SOURCE(S): MARPAT 140:791

AB The invention concerns methods of treating fibroproliferative disorders associated with TGF-β signaling, by administering non-peptide small mol. inhibitors of TGF-β specifically binding to the type I TGF-β receptor (TGFβ-R1). Preferably, the inhibitors are quinazoline derivs. The invention also concerns methods for reversing the effect of TGF-β mediated cell activation on the expression of a gene associated with fibrosis, comprising contacting a cell or tissue in which the expression of such gene is altered as a result of TGF-β mediated cell activation, with a non-peptide small mol. inhibitor of TGF-β, specifically binding a TGFβ-R1 receptor kinase present in the cell or tissue.

IT 627535-98-2
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treatment of fibroproliferative disorders using TGF-β inhibitors)

RN 627535-98-2 CAPLUS
CN 4-Quinazolinamine, 2-(1,1-dimethylethyl)-N-4-pyridinyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

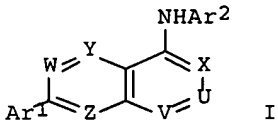
L13 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:591156 CAPLUS Full-text
DOCUMENT NUMBER: 139:149640
TITLE: Preparation of substituted quinazolin-4-ylamine analogs as VR1 capsaicin receptor antagonists for relieving pain
INVENTOR(S): Bakthavatchatam, Rajagopal; Blum, Charles A.; Brielmann, Harry L.; Caldwell, Timothy M.; De Lombaert, Stephane
PATENT ASSIGNEE(S): Neurogen Corporation, USA
SOURCE: PCT Int. Appl., 294 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003062209	A2	20030731	WO 2003-US1563	20030117
WO 2003062209	A3	20030904		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-349920P P 20020117
US 2002-350527P P 20020122
OTHER SOURCE(S): MARPAT 139:149640
GI



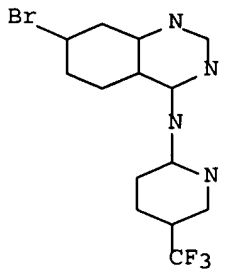
I

AB Substituted quinazolin-4-ylamine analogs (shown as I; variables defined below; e.g. (4-trifluoromethylphenyl)[7-(2-trifluoromethylphenyl)quinazoli n-4-yl]amine) are provided. Such compds. are ligands that may be used to modulate VR1 capsaicin receptor activity in vivo or in vitro (no data), and are particularly useful in the treatment of conditions associated with pathol. receptor activation in humans, domesticated companion animals and livestock animals. Pharmaceutical compns. and methods for using them to treat such disorders are provided, as are methods for using such ligands for receptor localization studies. For I; V, X, W, Y and Z are each independently N or CR1, with the proviso that at least one of V and X is N; U is N or CR2, with the proviso that if V and X are N, then U is CR2; R1 = H, halogen, hydroxy, amino, C1-C8 alkyl, haloC1-C8alkyl, C1-C8alkoxy, haloC1-C8alkoxy and mono- and di(C1-C8alkyl)amino. R2 = (i) H, halogen, cyano, or -COOH; (ii) C1-C8alkanoyl, C2-C8alkanone, or C1-C8carbamate, each of which is (un)substituted with 1-9 substituents = Rb, or (iii) -Rc-M-A-Ry, wherein: Rc is C0-C3alkyl; M is a bond, N(Rz), O, S, SO2, (C:O)pN(Rz), N(Rz)(C:O)p, SO2N(Rz), or N(Rz)SO2, wherein p is 0 or 1; A is a bond or C1-C8alkyl, (un)substituted with 1-3 Rb. Ry and Rz, if present, are: (a) independently H, C1-C8alkyl, C2-C8alkenyl, C2-C8alkynyl, C6-C10arylC1-C8alkyl, C2-C8alkyl ether, C1-C8alkoxy, a 4- to 10-membered carbocycle or heterocycle, or joined to R1 to form a 4- to 10-membered carbocycle or heterocycle, wherein each Ry and Rz = (un)substituted with 1-9 Rb; or (b) joined to form a 4- to 10-membered carbocycle or heterocycle that is (un)substituted with 1-9 Rb; Ar2 is a 5- to 7-membered aromatic heterocycle, (un)substituted with 1-3 LRa. Ar1 is a 5- to 10-membered aromatic carbocycle or heterocycle, (un)substituted with 1-3 LRa; L = bond, -O-, -C(O)-, -OC(O)-, -C(O)O-, -O-C(O)O-, -S(O)m-, -NRx-, -C(O)NHRx-, -NHRxC(O)-, -NRxS(O)m-, -S(O)mNRx- and -N[S(O)mRx]S(O)m-; wherein m = 0, 1 and 2; and Rx = H and C1-C8alkyl; Ra = (i) H, halogen, cyano and nitro; and (ii) C1-C8alkyl, C2-C8alkenyl, C2-C8alkynyl, C2-C8alkyl ether, 3- to 10-membered heterocycles, mono- and di(C1-C8alkyl)amino and (3- to 10-membered heterocycle)C1-C6 alkyl, each of which is (un)substituted with 1-9 Rb. Rb = hydroxy, halogen, amino, aminocarbonyl, amido, cyano, nitro, C1-C8alkyl, C1-C8alkoxy, C1-C8alkylthio, C1-C8alkyl ether, hydroxyC1-C8alkyl, haloC1-C8alkyl, Ph, phenyl(C1-C8alkyl), mono and di(C1-C6 alkyl)amino, (SO2)C1-C8alkyl, 5- to 7-membered heterocycle and (5- to 7-membered heterocycle)(C1-C8alkyl). Although the methods of preparation are not claimed, many example prepns. and characterization data for >500 examples of I are included.

IT 573675-58-8P, (7-Bromoquinazolin-4-yl)(5-trifluoromethylpyridin-2-yl)amine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted quinazolin-4-ylamine analogs as VR1 capsaicin receptor antagonists for relieving pain and for detecting receptors)

RN 573675-58-8 CAPLUS

CN 4-Quinazolinamine, 7-bromo-N-[5-(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)



*** FRAGMENT DIAGRAM IS INCOMPLETE ***

L13 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:228867 CAPLUS Full-text
DOCUMENT NUMBER: 134:266318
TITLE: Preparation of quinazolines as aurora 2 kinase inhibitors
INVENTOR(S): Mortlock, Andrew Austen; Keen, Nicholas John
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 208 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021597	A1	20010329	WO 2000-GB3593	20000919
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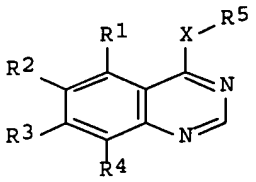
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000014137	A	20020521	BR 2000-14137	20000919
EP 1218355	A1	20020703	EP 2000-960850	20000919
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003509500	T2	20030311	JP 2001-524976	20000919
EE 200200118	A	20030415	EE 2002-118	20000919
AU 762697	B2	20030703	AU 2000-73019	20000919
BG 106526	A	20021031	BG 2002-106526	20020318
NO 2002001400	A	20020506	NO 2002-1400	20020320

PRIORITY APPLN. INFO.: GB 1999-22171 A 19990921
WO 2000-GB3593 W 20000919

OTHER SOURCE(S): MARPAT 134:266318
GI



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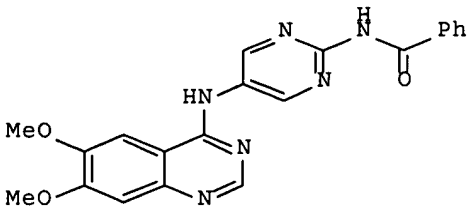


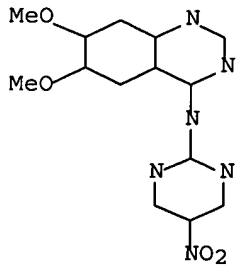
II

AB Title compds. (I) [wherein X = O, S, SO, SO2, NH, or NR6; R6 = H or alkyl; R5 = (un)substituted 6-membered aromatic ring containing at least one N; R1-R4 = independently halo, CN, NO2, alkylsulfanyl, N(OH)R7, or R9X1; R7 = H or alkyl; X1 = a direct bond, O, CH2, OC(O), CO, S, SO, SO2, or (un)substituted NHCO, CONH, SO2NH, NHSO2, or NH; R9 = H or (un)substituted hydrocarbyl, heterocyclyl, or alkoxy; and at least one of R2 or R3 is other than H; or a salt, ester, amide, or prodrug thereof] were prepared as aurora 2 kinase inhibitors for the treatment of proliferative diseases, such as cancer. For example, 2-(N-benzoylamino)-5-aminopyrimidine and 4-chloro-6,7-dimethoxyquinazoline were coupled in i-PrOH to yield II (58%). The latter inhibited the serine/threonine kinase activity of aurora 2 kinase by 50% at a concentration of 0.00785 μM. In addition, II gave 50% inhibition of MCF-7 cell proliferation at 1.7 μM and reduced BrdU incorporation into cellular DNA by 50% at 1.92-2.848 μM.

IT 331806-50-9P 331806-55-4P 331809-08-6P
331809-09-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediates; preparation of substituted quinazoline derivs. as inhibitors
of aurora 2 kinase for the treatment of breast and colorectal cancers)

RN 331806-50-9 CAPLUS

CN 4-Quinazolinamine, 6,7-dimethoxy-N-(5-nitro-2-pyrimidinyl)- (9CI) (CA INDEX NAME)



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RN 331806-55-4 CAPLUS

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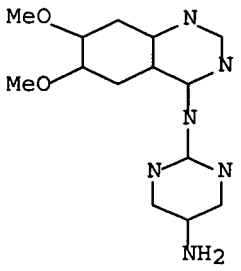

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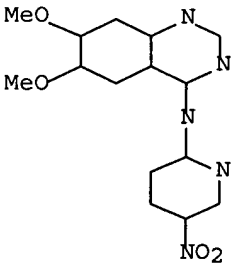

*** FRAGMENT DIAGRAM IS INCOMPLETE ***
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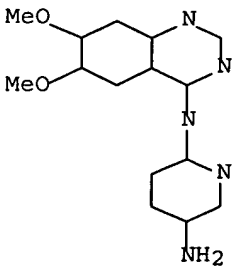
CN 2,5-Pyridinediamine, N2-(6,7-dimethoxy-4-quinazolinyl)- (9CI) (CA INDEX NAME)

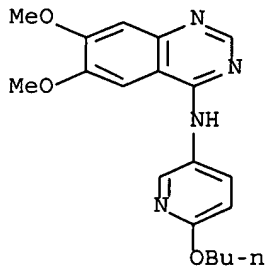


*** FRAGMENT DIAGRAM IS INCOMPLETE ***
IT 331803-48-6P 331803-53-3P 331803-64-6P
331803-89-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compds.; preparation of substituted quinazoline derivs. as

inhibitors of aurora 2 kinase for the treatment of breast and colorectal cancers)

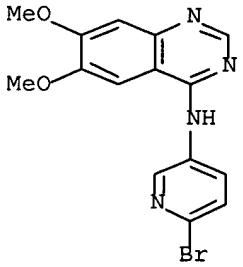
RN 331803-48-6 CAPLUS

CN 4-Quinazolinamine, N-(6-butoxy-3-pyridinyl)-6,7-dimethoxy- (9CI) (CA INDEX NAME)



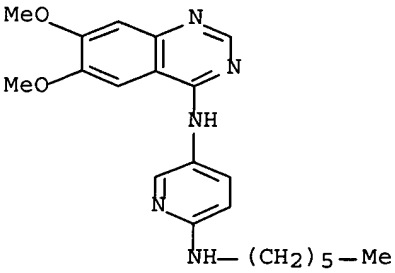
RN 331803-53-3 CAPLUS

CN 4-Quinazolinamine, N-(6-bromo-3-pyridinyl)-6,7-dimethoxy- (9CI) (CA INDEX NAME)



RN 331803-64-6 CAPLUS

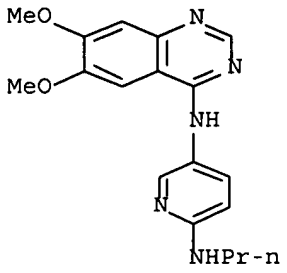
CN 2,5-Pyridinediamine, N5-(6,7-dimethoxy-4-quinazolinyl)-N2-hexyl- (9CI) (CA INDEX NAME)



RN 331803-89-5 CAPLUS

CN 2,5-Pyridinediamine, N5-(6,7-dimethoxy-4-quinazolinyl)-N2-propyl- (9CI)

(CA INDEX NAME)



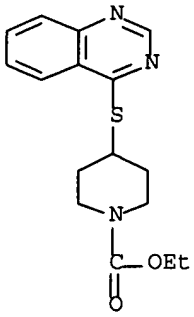
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 4 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:860680 CAPLUS Full-text
DOCUMENT NUMBER: 134:157196
TITLE: Synthesis and analgesic activity of some quinazoline analogs of anpirtoline
AUTHOR(S): Radl, Stanislav; Hezky, Petr; Proska, Jan; Krejci, Ivan
CORPORATE SOURCE: Research Institute of Pharmacy and Biochemistry, Prague, 13060, Czech Rep.
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (2000), 333(11), 381-386
CODEN: ARPMAS; ISSN: 0365-6233
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:157196

AB New condensed derivs. of anpirtoline, in which the pyridine ring is replaced with quinoline, quinazoline, 7-chloroquinoline, and 7-chloroquinazoline nuclei, have been synthesized. Their receptor binding profiles (5-HT1A, 5-HT1B) and analgesic activity (hot plate, acetic acid induced writhing) have been studied. The analgesic activity of some of the compds. are comparable to that of clin. used drugs flupirtine and tramadol under the same conditions.

IT 232618-27-8P 232618-31-4P 232618-36-9P
325145-97-9P 325145-98-0P 325145-99-1P
325146-00-7P 325146-01-8P 325146-03-0P
325146-04-1P 325146-05-2P 325146-06-3P
325146-07-4P 325146-08-5P 325146-09-6P
325146-11-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and analgesic activity of quinazoline analogs of anpirtoline)

RN 232618-27-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(4-quinazolinylthio)-, ethyl ester (9CI) (CA INDEX NAME)



RN 232618-31-4 CAPLUS
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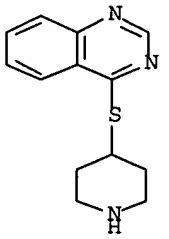

RN 232618-36-9 CAPLUS
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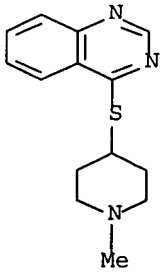
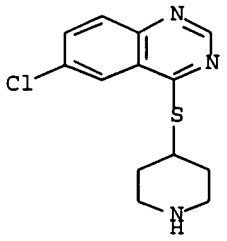
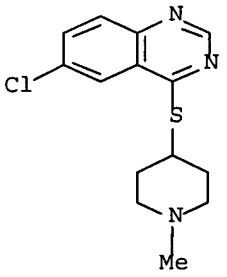


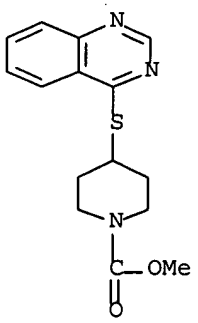
RN 325145-97-9 CAPLUS
CN Quinazoline, 6-chloro-4-(4-piperidinylthio)- (9CI) (CA INDEX NAME)



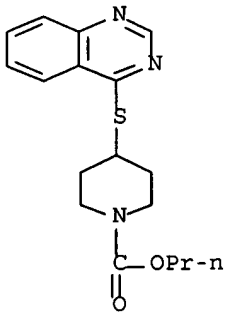
RN 325145-98-0 CAPLUS
CN Quinazoline, 6-chloro-4-[(1-methyl-4-piperidinyl)thio]- (9CI) (CA INDEX NAME)



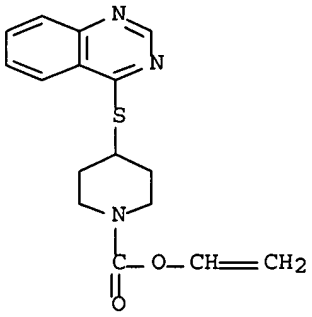
RN 325145-99-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(4-quinazolinylthio)-, methyl ester (9CI) (CA INDEX NAME)



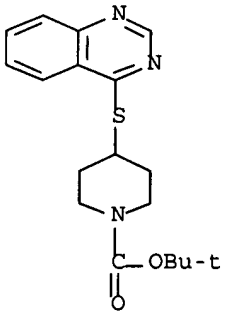
RN 325146-00-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(4-quinazolinylthio)-, propyl ester (9CI) (CA INDEX NAME)



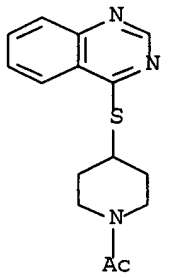
RN 325146-01-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(4-quinazolinylthio)-, ethenyl ester (9CI) (CA INDEX NAME)



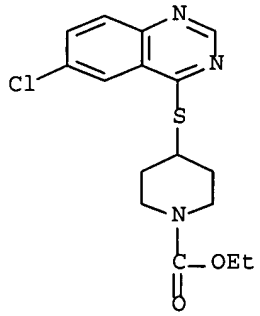
RN 325146-03-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(4-quinazolinylthio)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



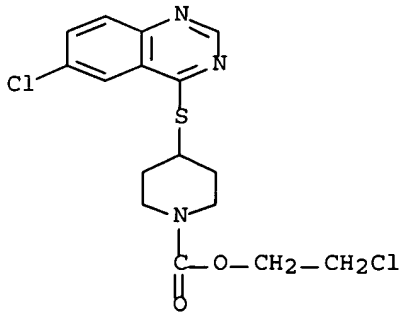
RN 325146-04-1 CAPLUS
CN Piperidine, 1-acetyl-4-(4-quinazolinylthio)- (9CI) (CA INDEX NAME)



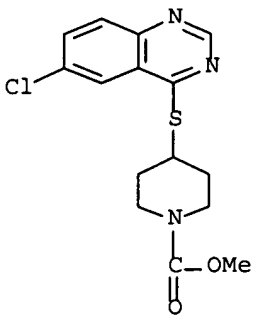
RN 325146-05-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(6-chloro-4-quinazolinyl)thio]-, ethyl ester (9CI) (CA INDEX NAME)



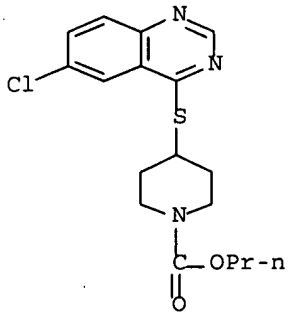
RN 325146-06-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(6-chloro-4-quinazolinyl)thio]-, 2-chloroethyl ester (9CI) (CA INDEX NAME)



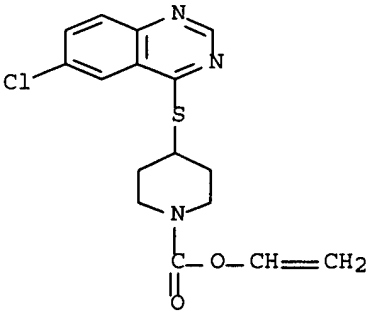
RN 325146-07-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(6-chloro-4-quinazolinyl)thio]-, methyl ester (9CI) (CA INDEX NAME)



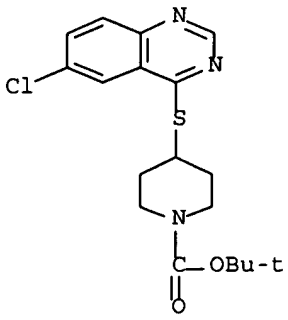
RN 325146-08-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(6-chloro-4-quinazolinyl)thio]-, propyl ester (9CI) (CA INDEX NAME)



RN 325146-09-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(6-chloro-4-quinazolinyl)thio]-, ethenyl ester (9CI) (CA INDEX NAME)



RN 325146-11-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(6-chloro-4-quinazolinyl)thio]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



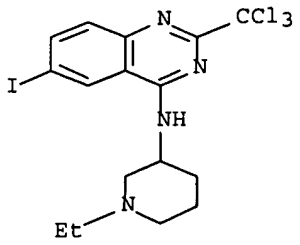
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:776034 CAPLUS Full-text
DOCUMENT NUMBER: 134:112096
TITLE: Inhibitor potencies and substrate preference for endothelin-converting enzyme-1 are dramatically affected by pH
AUTHOR(S): Fahnoe, Douglass C.; Knapp, Jill; Johnson, Gary D.; Ahn, Kyunghye
CORPORATE SOURCE: Department of Biochemistry, Parke-Davis Pharmaceutical Research, Division of Warner Lambert Company, Ann Arbor, MI, 48105, USA
SOURCE: Journal of Cardiovascular Pharmacology (2000), 36(5, Suppl. 1), S22-S25
CODEN: JCPCDT; ISSN: 0160-2446
PUBLISHER: Lippincott Williams & Wilkins
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Phosphoramidon has been shown to inhibit endothelin-converting enzyme-1 (ECE-1) in a markedly pH-dependent manner. In order to determine whether this dramatic pH-dependence is a general phenomenon of ECE-1, 2 structurally unrelated ECE-1 inhibitors, PD 069185 and CGS 31447, were tested for ECE-1 inhibition at various pH values. The data indicated that the potencies of these ECE-1 inhibitors were also highly affected by pH. ECE-1 is known to have a very sharp activity optimum at neutral pH which is in marked contrast to the acidic pH optimum for ECE-2. However, the authors' results shows that the pH optimum for ECE-1 activity is highly substrate-dependent. ECE-1 hydrolyzes the small peptide hormones, bradykinin and substance P, with acidic pH optima of 5.6-5.8, which sharply contrasts the neutral pH optimum with big ET-1 as substrate. These data suggest that the substrate preference for ECE-1 is highly affected by pH and that this pH-dependence for substrate preference might be one way of controlling the specificity of the enzyme in vivo.

IT 179598-61-9, PD 069185
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitor; inhibitor potencies and substrate preference for endothelin-converting enzyme-1 are dramatically affected by pH)

RN 179598-61-9 CAPLUS
CN 4-Quinazolinamine, N-(1-ethyl-3-piperidinyl)-6-iodo-2-(trichloromethyl)- (9CI) (CA INDEX NAME)



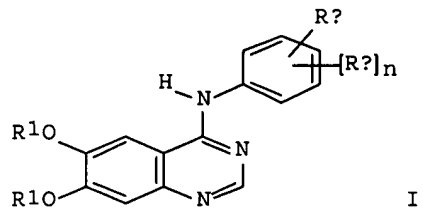
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 6 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:688226 CAPLUS Full-text
DOCUMENT NUMBER: 133:266866
TITLE: Preparation of quinazolines as antitumor agents
INVENTOR(S): Uckun, Fatih M.; Liu, Xing-ping; Narla, Rama K.
PATENT ASSIGNEE(S): Parker Hughes Institute, USA
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000056720	A1	20000928	WO 2000-US6902	20000316
W: AE, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6258820	B1	20010710	US 1999-357404	19990720
EP 1163228	A1	20011219	EP 2000-921389	20000316
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002540103	T2	20021126	JP 2000-606581	20000316
US 2001016588	A1	20010823	US 2001-779809	20010208
US 6358962	B2	20020319		
US 2002137757	A1	20020926	US 2001-923903	20010807
US 6638939	B2	20031028		
NO 2001004560	A	20010919	NO 2001-4560	20010919

PRIORITY APPLN. INFO.:
US 1999-125145P P 19990319
US 1999-125177P P 19990319
US 1999-125338P P 19990319
US 1999-357404 A 19990720
WO 2000-US6902 W 20000316
US 2001-779809 A1 20010208

OTHER SOURCE(S): MARPAT 133:266866
GI



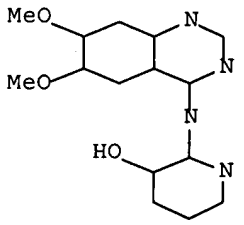
I

AB The title compds. [I; Ra = I, hydroxyalkyl, methylenedioxy, etc.; n = 1-4; Rb = H, halo, OH, etc.; R1 = alkyl], useful for the treatment of cancer (e.g., leukemia and breast cancer) and for the treatment of allergic reactions, were prepared by reacting 4-chloro-6,7-dimethoxyquinazoline with the substituted aniline. Biol. data for compds. I were given.

IT 296234-55-4P 296234-59-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolines as antitumor agents)

RN 296234-55-4 CAPLUS

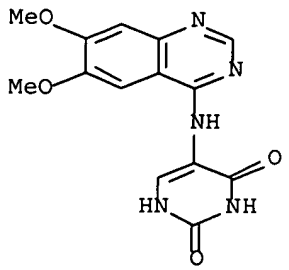
CN 3-Pyridinol, 2-[(6,7-dimethoxy-4-quinazolinyl)amino]- (9CI) (CA INDEX NAME)



*** FRAGMENT DIAGRAM IS INCOMPLETE ***

RN 296234-59-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 5-[(6,7-dimethoxy-4-quinazolinyl)amino]- (9CI) (CA INDEX NAME)



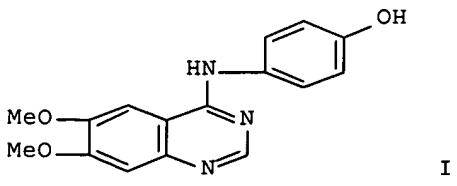
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 7 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:688094 CAPLUS Full-text
DOCUMENT NUMBER: 133:271682
TITLE: Preparation of quinazolines for micellar pharmaceuticals for treatment of allergy and cancer
INVENTOR(S): Yiv, Seang; Li, Mingshu; Uckun, Fatih M.
PATENT ASSIGNEE(S): Parker Hughes Institute, USA
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000056338	A1	20000928	WO 2000-US7066	20000317
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1162974	A1	20011219	EP 2000-914991	20000317
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002539262	T2	20021119	JP 2000-606242	20000317
US 2002111360	A1	20020815	US 2001-960464	20010919

PRIORITY APPLN. INFO.: US 1999-125147P P 19990319
WO 2000-US7066 W 20000317

OTHER SOURCE(S): MARPAT 133:271682
GI



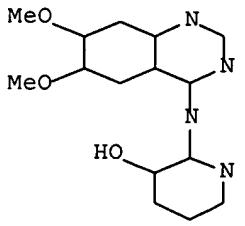
I

AB Pharmaceutical compns. for parenteral administration of poorly soluble quinazoline compds. in the form of microemulsions or micellar solns. are described. The compns. are useful in treating patients suffering from cancer or having allergic reactions. E.g., I was prepared, its soly profile given,

and micellar solns. containing PEGylated phosphatidylethanolamines were effective in enhancing the solubilization of I.

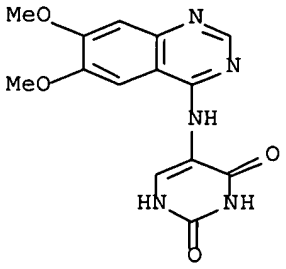
IT 296234-55-4P 296234-59-8P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolines for micellar pharmaceuticals for treatment of allergy and cancer)

RN 296234-55-4 CAPLUS
CN 3-Pyridinol, 2-[(6,7-dimethoxy-4-quinazolinyl)amino]- (9CI) (CA INDEX NAME)



*** FRAGMENT DIAGRAM IS INCOMPLETE ***

RN 296234-59-8 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 5-[(6,7-dimethoxy-4-quinazolinyl)amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

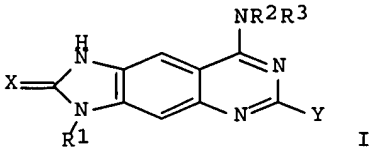
L13 ANSWER 8 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	2000:612064 CAPLUS Full-text
DOCUMENT NUMBER:	133:193165
TITLE:	Preparation of imidazoquinazolines and cyclic guanosine 3',5'-monophosphate-specific phosphodiesterase inhibitors
INVENTOR(S):	Onoda, Yasuo; Machii, Daisuke; Nomoto, Yuji; Takai, Haruki; Ono, Satoshi; Ichimura, Michiaki
PATENT ASSIGNEE(S):	Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE:	Jpn. Kokai Tokkyo Koho, 16 pp. CODEN: JKXXAF
DOCUMENT TYPE:	Patent
LANGUAGE:	Japanese
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000239277	A2	20000905	JP 1999-41567	19990219

PRIORITY APPLN. INFO.: JP 1999-41567 19990219
OTHER SOURCE(S): MARPAT 133:193165
GI

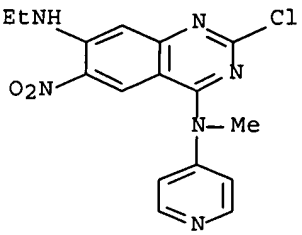


I

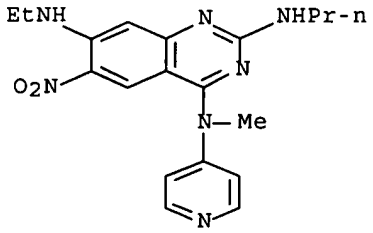
AB Title compds. I [R1 = lower alkyl cycloalkyl, lower alkenyl, aralkyl, aryl, etc.; R2, R3 = H, alkyl, cycloalkyl, lower alkenyl, aralkyl, aryl, etc.; X = O, S; Y = OR4, SR5, NR6R7; R4, R5 = lower alkyl, cycloalkyl, lower alkenyl, aralkyl, etc.; R6, R7 = H, lower alkyl, cycloalkyl, alkenyl, aralkyl, aryl, etc.; R6R7 = N-containing heterocyclic ring]. 7-Ethylamino-6-nitro-2-propylamino-4-(4-pyridylmethylamino)quinazoline was hydrogenated with Pd/C in EtOH-THF mixture for 8 h and reacted with CS2 in the presence of Et3N in EtOH at room temperature overnight to give 65% 3-ethyl-6-propylamino-8-(4-pyridylmethylamino)-2,3-dihydro-1H-imidazo[4,5- g]quinazoline-2-thione, which was treated with HCl in AcOEt to give their HCl salt showing good antihypertensive activity.

IT 289660-30-6P 289660-33-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of imidazoquinazolines and cyclic guanosine monophosphate-specific phosphodiesterase inhibitors)

RN 289660-30-6 CAPLUS
CN 4,7-Quinazolinediamine, 2-chloro-N7-ethyl-N4-methyl-6-nitro-N4-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 289660-33-9 CAPLUS
CN 2,4,7-Quinazolinetriamine, N7-ethyl-N4-methyl-6-nitro-N1-propyl-N4-4-pyridinyl- (9CI) (CA INDEX NAME)

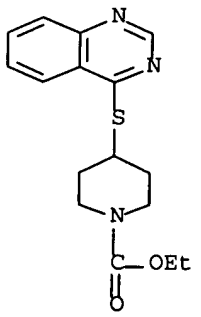


L13 ANSWER 9 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:410148 CAPLUS Full-text
DOCUMENT NUMBER: 131:111116
TITLE: Synthesis and analgesic activity of some condensed analogs of anpirtoline
AUTHOR(S): Radl, Stanislav; Kovarova, Lenka; Hezky, Petr; Vosatka, Vaclav; Konigova, Otylie; Proska, Jan; Krejci, Ivan
CORPORATE SOURCE: Research Institute Pharmacy Biochemistry, Prague, 13060, Czech Rep.
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1999), 332(6), 208-212
CODEN: ARPMAS; ISSN: 0365-6233
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English

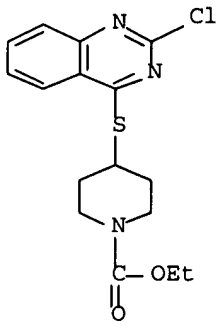
AB Condensed derivs. of anpirtoline, in which the pyridine ring is replaced with quinoline, isoquinoline, quinazoline, and phthalazine nuclei, were synthesized. Their receptor binding profiles (5HT1A, 5-HT1B) and analgesic activity (hot plate, AcOH-induced writhing) were studied. The analgesic activity of 4 of the compds. are at least comparable to that of the clin. used drugs flupirtine and tramadol under the same conditions.

IT 232618-27-8P 232618-28-9P 232618-32-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and 5-HT1-agonistic and analgesic activity of condensed analogs of anpirtoline)

RN 232618-27-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(4-quinazolinylthio)-, ethyl ester (9CI) (CA INDEX NAME)



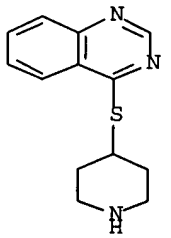
RN 232618-28-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(2-chloro-4-quinazolinyl)thio]-, ethyl ester (9CI) (CA INDEX NAME)



RN 232618-32-5 CAPLUS
CN Quinazoline, 4-(4-piperidinylthio)-, monoacetate (9CI) (CA INDEX NAME)

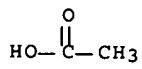
CM 1

CRN 232618-31-4
CMF C13 H15 N3 S



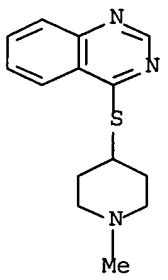
CM 2

CRN 64-19-7
CMF C2 H4 O2

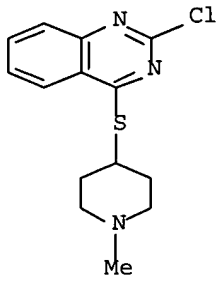


IT 232618-36-9P 232618-37-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(preparation and 5-HT1-agonistic and analgesic activity of condensed analogs
of anpirtoline)
RN 232618-36-9 CAPLUS
CN Quinazoline, 4-[(1-methyl-4-piperidinyl)thio]- (9CI) (CA INDEX NAME)



RN 232618-37-0 CAPLUS
CN Quinazoline, 2-chloro-4-[(1-methyl-4-piperidinyl)thio]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

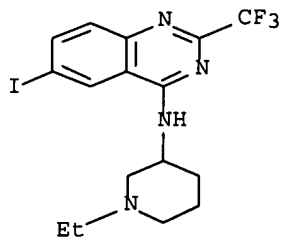
L13 ANSWER 10 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:94200 CAPLUS Full-text
DOCUMENT NUMBER: 128:229133
TITLE: Novel selective quinazoline inhibitors of endothelin converting enzyme-1
AUTHOR(S): Ahn, Kyunghye; Sisneros, Andre M.; Herman, Sarah B.; Pan, Sharon M.; Hupe, Donald; Lee, Chitase; Nikam, Sham; Cheng, Xue-Min; Doherty, Annette M.; Schroeder, Richard L.; Haleen, Stephen J.; Kaw, Semiko; Emoto, Noriaki; Yanagisawa, Masashi
CORPORATE SOURCE: Division of Warner-Lambert Company, Department of Biochemistry, Parke-Davis Pharmaceutical Research, Ann Arbor, MI, 48105, USA
SOURCE: Biochemical and Biophysical Research Communications (1998), 243(1), 184-190
CODEN: BBRCA9; ISSN: 0006-291X
PUBLISHER: Academic Press

DOCUMENT TYPE: Journal
LANGUAGE: English

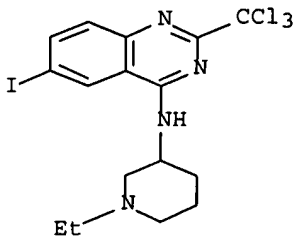
AB PD 069185 is a highly selective and structurally novel inhibitor of endothelin converting enzyme-1 (ECE-1). PD 069185 is a trisubstituted quinazoline with an IC50 value of 0.9 μM for inhibition of human ECE-1 from the solubilized membrane fraction of CHO cells stably transfected with human ECE-1 cDNA. Kinetic anal. revealed that PD 0691 85 is best fit with a competitive inhibition model with a Ki value of 1.1 μM and binds in a reversible manner. The closely related enzyme, ECE-2, is not inhibited at up to 100 μM PD 069185. In addition, PD 069185 at 200-300 μM has little effect on other metalloproteases, such as neutral endopeptidase 24.11, stromelysin, gelatinase A, and collagenase, showing a high ECE-1 specificity. Data are also presented to show that this series of inhibitors are effective in inhibiting ECE-1 in intact cells and in attenuating the increase in perfusion pressure induced by big ET-1 in isolated rat mesentery. These non-peptidic ECE-1 inhibitors should serve as a valuable tool to study the pathophysiol. role of endothelin and the therapeutic potential of ECE-1 inhibitors.

IT 179598-53-9, PD 159790 179598-61-9, PD 069185
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(characterization of novel selective quinazoline inhibitors of endothelin converting enzyme-1)

RN 179598-53-9 CAPLUS
CN 4-Quinazolinamine, N-(1-ethyl-3-piperidinyl)-6-iodo-2-(trifluoromethyl)-(9CI) (CA INDEX NAME)



RN 179598-61-9 CAPLUS
CN 4-Quinazolinamine, N-(1-ethyl-3-piperidinyl)-6-iodo-2-(trichloromethyl)-(9CI) (CA INDEX NAME)

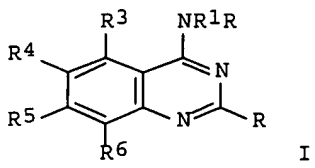


REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:494195 CAPLUS Full-text
DOCUMENT NUMBER: 125:142765
TITLE: Preparation of quinazolineamines and analogs as endothelin converting enzyme inhibitors
INVENTOR(S): Ahn, Kyunghye; Cheng, Xue-Min; Doherty, Annette Marian; Elslager, Edward Faith; Kornberg, Brian; Lee, Chitase; Leonard, Daniele; Nikam, Sham Shribhar; Werbel, Leslie Morton
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: PCT Int. Appl., 103 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9619474	A1	19960627	WO 1995-US15366	19951127
W: CA, EE, JP, LT, LV, MX, SI				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5658902	A	19970819	US 1994-363104	19941222
CA 2206046	AA	19960627	CA 1995-2206046	19951127
EP 799221	A1	19971008	EP 1995-941477	19951127
EP 799221	B1	20021030		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV				
JP 10510834	T2	19981020	JP 1995-519802	19951127
AT 226951	E	20021115	AT 1995-941477	19951127
PT 799221	T	20030331	PT 1995-95941477	19951127
ES 2186734	T3	20030516	ES 1995-941477	19951127
US 5773444	A	19980630	US 1997-837176	19970414

PRIORITY APPLN. INFO.: US 1994-363104 A 19941222
WO 1995-US15366 W 19951127
OTHER SOURCE(S): MARPAT 125:142765
GI



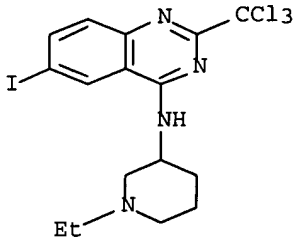
I

AB Title compds. [e.g., I; R = (halo)alkyl, (hetero)aryl(alkyl); R1 = substituted alkyl, heterocyclyl, etc.; R2 = H or alkyl; NR1R2 = heterocyclyl; R3-R6 = H, halo, alkyl, alkoxy, etc.] were prepared Thus, 5-iodoanthranilic acid was cyclocondensed with a trichloroacetimidate and the chlorinated product aminated by 3-amino-1-ethylpiperidine to give I (R = CCl3, R1 = 1-ethyl-3-

piperidinyl, R3 = R5 = R6 = H, R4 = iodo) which had IC50 of 6.6μM in a EAhy926 cell-based assay.

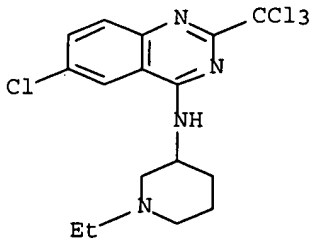
IT 179598-37-9P 179598-39-1P 179598-40-4P
179598-41-5P 179598-50-6P 179598-53-9P
179598-61-9P 179598-62-0P 179598-63-1P
179598-64-2P 179598-65-3P 179598-66-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolineamines and analogs as endothelin converting enzyme inhibitors)

RN 179598-37-9 CAPLUS
CN 4-Quinazolinamine, N-(1-ethyl-3-piperidinyl)-6-iodo-2-(trichloromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



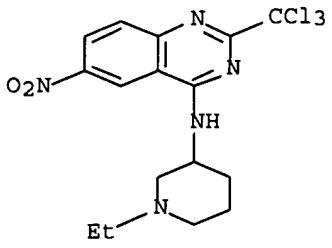
● HCl

RN 179598-39-1 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(1-ethyl-3-piperidinyl)-2-(trichloromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



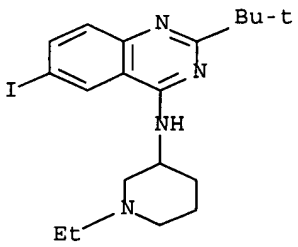
● HCl

RN 179598-40-4 CAPLUS
CN 4-Quinazolinamine, N-(1-ethyl-3-piperidinyl)-6-nitro-2-(trichloromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

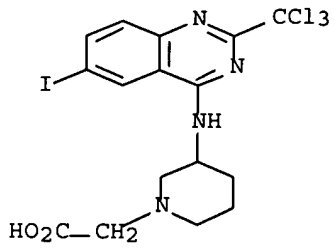


● HCl

RN 179598-41-5 CAPLUS
CN 4-Quinazolinamine, 2-(1,1-dimethylethyl)-N-(1-ethyl-3-piperidinyl)-6-iodo- (9CI) (CA INDEX NAME)

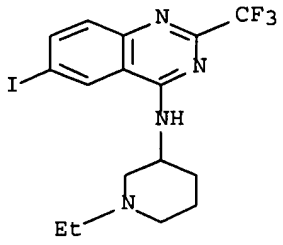


RN 179598-50-6 CAPLUS
CN 1-Piperidineacetic acid, 3-[[6-iodo-2-(trichloromethyl)-4-quinazolinyl]amino]-, monopotassium salt (9CI) (CA INDEX NAME)

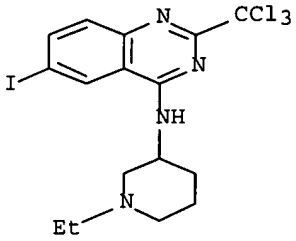


● K

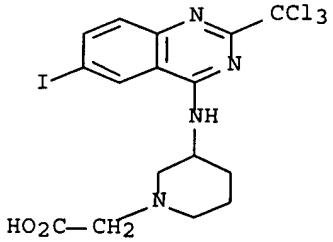
RN 179598-53-9 CAPLUS
CN 4-Quinazolinamine, N-(1-ethyl-3-piperidinyl)-6-iodo-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)



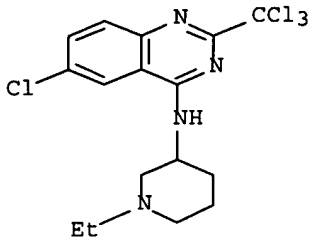
RN 179598-61-9 CAPLUS
CN 4-Quinazolinamine, N-(1-ethyl-3-piperidinyl)-6-iodo-2-(trichloromethyl)-(9CI) (CA INDEX NAME)



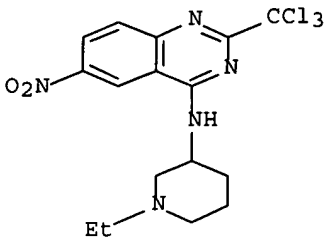
RN 179598-62-0 CAPLUS
CN 1-Piperidineacetic acid, 3-[[6-iodo-2-(trichloromethyl)-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)



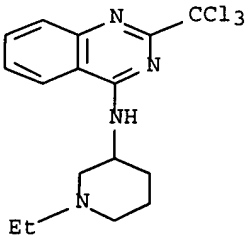
RN 179598-63-1 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(1-ethyl-3-piperidinyl)-2-(trichloromethyl)-(9CI) (CA INDEX NAME)



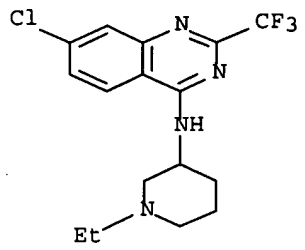
RN 179598-64-2 CAPLUS
CN 4-Quinazolinamine, N-(1-ethyl-3-piperidinyl)-6-nitro-2-(trichloromethyl)-(9CI) (CA INDEX NAME)



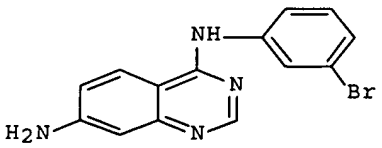
RN 179598-65-3 CAPLUS
CN 4-Quinazolinamine, N-(1-ethyl-3-piperidinyl)-2-(trichloromethyl)- (9CI) (CA INDEX NAME)



RN 179598-66-4 CAPLUS
CN 4-Quinazolinamine, 7-chloro-N-(1-ethyl-3-piperidinyl)-2-(trifluoromethyl)-(9CI) (CA INDEX NAME)



L13 ANSWER 12 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:746894 CAPLUS Full-text
DOCUMENT NUMBER: 123:256632
TITLE: Tyrosine kinase inhibitors. 5. Synthesis and structure-activity relationships for 4-[(phenylmethyl)amino]- and 4-(phenylamino)quinazolines as potent adenosine 5'-triphosphate binding site inhibitors of the tyrosine kinase domain of the epidermal growth factor receptor.
AUTHOR(S): Rewcastle, Gordon W.; Denny, William A.; Bridges, Alexander J.; Zhou, Hairong; Cody, Donna R.; McMichael, Amy; Fry, David W.
CORPORATE SOURCE: School of Medicine, University of Auckland, Auckland, N. Z.
SOURCE: Journal of Medicinal Chemistry (1995), 38(18), 3482-7
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 123:256632
GI

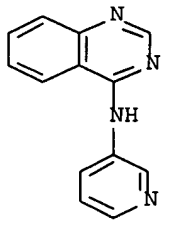


I

AB A series of 4-substituted quinazolines and related compds. have been prepared and evaluated for their ability to inhibit the tyrosine kinase activity of the epidermal growth factor receptor on a phospholipase C-γ1-derived substrate. The results show a narrow structure-activity relationship (SAR) for the basic ring system, with quinazoline being the preferred chromophore and benzylamino and anilino the preferred side chains. 4-Chloro-7-nitroquinazoline was heated with 3-bromoaniline and 3-bromoaniline hydrochloride in Me2CHOH to give 94% 4-[(3-bromophenyl)amino]-7-nitroquinazoline. Reflux of the latter with Fe in EtOH/AcOH gave 90% 7-amino-4-[(3-bromophenyl)amino]quinazoline(I). I inhibited phosphorylation of a 14 residue fragment of phospholipase C-γ1 by epidermal growth factor receptor with IC50 = 0.1 nM.
IT 70128-59-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of 4-[(phenylmethyl)amino]- and 4-(phenylamino)quinazolines and related compds. as potent binding site inhibitors of the tyrosine kinase domain of the epidermal growth factor receptor)

RN 70128-59-5 CAPLUS
CN 4-Quinazolinamine, N-3-pyridinyl- (9CI) (CA INDEX NAME)

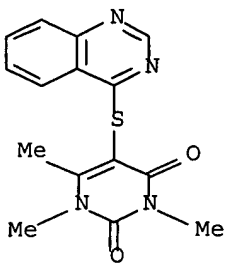


L13 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:731257 CAPLUS Full-text
DOCUMENT NUMBER: 123:339501
TITLE: Reactions of diazines with nucleophiles. IV. The reactivity of 5-bromo-1,3,6-trimethyluracil with thiolate ions - substitution versus X-philic versus single electron transfer reactions
AUTHOR(S): Kumar, Subodh; Chimni, Swapandeep Singh; Cannoo, Deepika; Arora, Jasbir Singh
CORPORATE SOURCE: Department Chemistry, Guru Nanak Dev University, Amritsar, 143 005, India
SOURCE: Bioorganic & Medicinal Chemistry (1995), 3(7), 891-7
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Reaction of 5-bromo-1,3,6-trimethyluracil with alkylthiolate (propane-1-, toluene-α-, allyl-, etc.) ions under phase transfer catalytic conditions follows nucleophilic substitution and X-philic (Br and S) elimination to give 5-alkylthio-1,3,6-trimethyluracils, 6-alkylthiomethyl-1,3-dimethyluracils and 1,3,6 -trimethyluracil. Reaction of 5-bromo-1,3,6-trimethyluracil with heteroarylthiolate ions (pyridine-2-, quinazoline-4-, uracil-2- and 4,6-dimethylpyrimidine-2- thiolate) gives only nucleophilic substitution products. However, arylthiolate (phenyl-, 4-chlorophenyl-, 2-aminophenyl-) ions follow a single electron transfer (SET) mechanism to give 5-arylthio-6- arylthiomethyl-1,3-dimethyluracils along with normal substitution products. 1,3,6-Trimethyluracil does not react with alkyl- or heteroaryl-thiolate ions but reacts with arylthiolate ions (SET) providing mainly 5-arylthio-1,3,6-trimethyluracils.

IT 170504-11-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(reactions of 5-bromo-1,3,6-trimethyluracil with thiolate ions)

RN 170504-11-7 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1,3,6-trimethyl-5-(4-quinazolinylthio)- (9CI) (CA INDEX NAME)

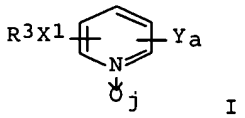


L13 ANSWER 14 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1988:70632 CAPLUS Full-text
DOCUMENT NUMBER: 108:70632
TITLE: Use of heterocyclic nitrogen-containing compounds for reducing moisture loss from plants and increasing crop yield
INVENTOR(S): Manning, David Treadway; Cappy, James Joseph; Cooke, Anson Richard; Sheads, Richard Eric; Wu, Tai Teh; Lopes, Anihal; Phillips, Jennifer Lyn; Outcalt, Russell James
PATENT ASSIGNEE(S): Union Carbide Agricultural Products Co., Inc., USA
SOURCE: PCT Int. Appl., 789 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8704321	A2	19870730	WO 1987-US240	19870123
WO 8704321	A3	19871105		
W: AU, BR, DK, FI, HU, JP, KR, LK, MW, NO, RO, SD, SU				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
DD 254318	A5	19880224	DD 1987-299404	19870122
ZA 8700480	A	19880928	ZA 1987-480	19870122
ES 2004071	A6	19881201	ES 1987-158	19870122
AU 8770316	A1	19870814	AU 1987-70316	19870123
EP 258391	A1	19880309	EP 1987-901826	19870123
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
BR 8705356	A	19880405	BR 1987-5356	19870123
JP 63502511	T2	19880922	JP 1987-501343	19870123
HU 45848	A2	19880928	HU 1987-1236	19870123
FI 8704111	A	19870921	FI 1987-4111	19870921
DK 8704961	A	19870922	DK 1987-4961	19870922

PRIORITY APPLN. INFO.: US 1986-824389 19860123
US 1986-939416 19861215
WO 1987-US240 19870123

GI



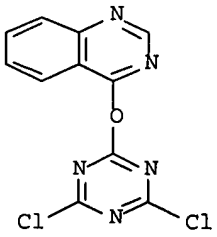
I

AB The title compds. R1XR2 [R1 = (un)substituted carbocyclic (aromatic or nonarom.) or heterocyclic ring; X = covalent single or double bond, (un)substituted heteroatom or substituted C, etc.; R2 = (un)substituted heterocyclic ring] are plant antitranspirants. The pyridines I [R3 = (un)substituted Ph, 1- or 2-naphthyl or heteroaryl; X1 = O, S, SO2, NH, CH2O, CH2S, etc.; Y = halo, alkyl, CN, polyhaloalkyl, alkoxy, etc.; a = 2-4, j = 0, 1] are novel compds. A solution of 12.4 g 4-methylthiophenol and 10.7 g 2,6-lutidine in 50 mL acetone was treated with 18.4 g cyanuric chloride in 200 mL acetone, to give 1.16 g 2,4-dichloro-6-(4- methylphenylthio)-1,3,5-triazine (II). II (1840 ppm) very markedly decreased transpiration rate and increased leaf diffusion resistance, in potted bean (Phaseolus vulgaris). In isolated pea chloroplasts, 2,4-dichloro-6-(2,6-dichlorophenoxy)-1,3,5-triazine (622 g/L) had no effect on photosynthetic electron transport, as shown by absence of O uptake inhibition. This was contrasted to 65% O uptake inhibition caused by the standard atrazine (108 g/L).

IT 112720-19-1P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as plant antitranspirant)

RN 112720-19-1 CAPLUS

CN Quinazoline, 4-[(4,6-dichloro-1,3,5-triazin-2-yl)oxy]- (9CI) (CA INDEX NAME)



L13 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1982:582339 CAPLUS Full-text

DOCUMENT NUMBER: 97:182339

TITLE: Quinazolines, their preparation and biological activity

AUTHOR(S): Schoenowsky, Hubert; Sachse, Burkhardt

CORPORATE SOURCE: Pflanzenschutzforsch.-Chem., Hoechst A.-G., Frankfurt/Main, D-6230/80, Fed. Rep. Ger.

SOURCE: Zeitschrift fuer Naturforschung, Teil B: Anorganische Chemie, Organische Chemie (1982), 37B(7), 907-11
CODEN: ZNBAD2; ISSN: 0340-5087

DOCUMENT TYPE: Journal

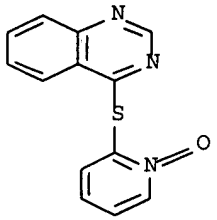
LANGUAGE: German

AB 4-Hydroxyquinazolines (I) were prepared by cyclocondensation of 2-aminobenzoic acids with formamide and were alkylated and arylated to give alkoxy- and (aryloxy)quinazolines. 4-Chloroquinazolines were prepared by treatment of I with PCl5/POCl3 and were converted into thio and amino compds. by reaction with mercaptans and amines, resp. A number of the quinazolines showed fungicidal activity.

IT 83529-97-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 83529-97-9 CAPLUS

CN Quinazoline, 4-[(1-oxido-2-pyridinyl)thio]- (9CI) (CA INDEX NAME)



L13 ANSWER 16 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1981:57955 CAPLUS Full-text

DOCUMENT NUMBER: 94:57955

TITLE: Synthesis and antimalarial effects of N2-aryl-N4-[(dialkylamino)alkyl]- and N4-aryl-N2-[(dialkylamino)alkyl]-2,4-quinazolinediamines

AUTHOR(S): Elslager, Edward F.; Hess, Carolyn; Johnson, Judith; Ortwine, Daniel; Chu, Vera; Werbel, Leslie M.

CORPORATE SOURCE: Pharm. Res. Div., Warner-Lambert/Parke Davis, Ann Arbor, MI, 48106, USA

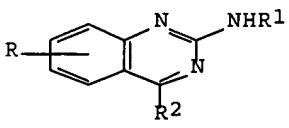
SOURCE: Journal of Medicinal Chemistry (1981), 24(2), 127-40
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 94:57955

GI



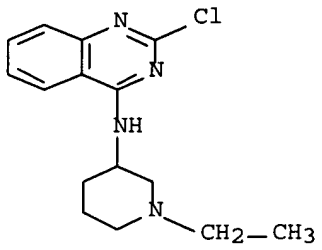
I

AB The title compds. I (R = H, Cl, NH2, NO2, etc.; R1 = substituted Ph, heterocyclic, or dialkylaminoalkyl; R2 = dialkylaminoalkyl, substituted heterocyclic, or substituted Ph) were prepared by stepwise reactions from either 2,4-dichloroquinazoline [607-68-1] or 2-chloro-4-quinazolinol [607-69-2], and tested in mice for antimalarial activity. N2-(3,4-Dichlorophenyl)-N4-

[2-(1-methyl-2-pyrrolidinyl)ethyl]-2,4- quinazolinediamine-2HCl [76004-48-3] was among the more active compds. Structure-activity relations are discussed.

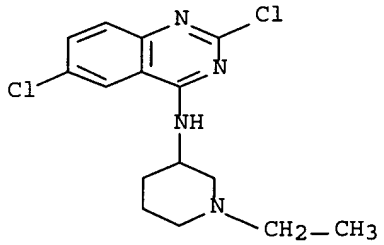
IT 76004-33-6P 76004-39-2P 76004-40-5P
76004-41-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and condensation with arylamine)

RN 76004-33-6 CAPLUS
CN 4-Quinazolinamine, 2-chloro-N-(1-ethyl-3-piperidinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



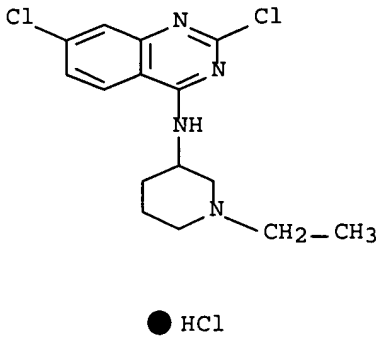
● HCl

RN 76004-39-2 CAPLUS
CN 4-Quinazolinamine, 2,6-dichloro-N-(1-ethyl-3-piperidinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



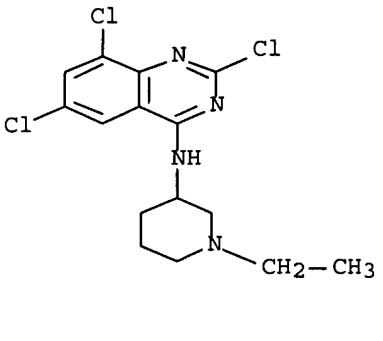
● HCl

RN 76004-40-5 CAPLUS
CN 4-Quinazolinamine, 2,7-dichloro-N-(1-ethyl-3-piperidinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 76004-41-6 CAPLUS
CN 4-Quinazolinamine, 2,6,8-trichloro-N-(1-ethyl-3-piperidinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

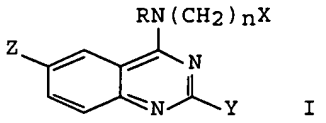


● HCl

L13 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1979:198861 CAPLUS Full-text
DOCUMENT NUMBER: 90:198861
TITLE: Aminoquinazolines as microbiocides
INVENTOR(S): Nakagami, Kazuto; Yokoi, Shinji; Nishimura, Kenji; Nagai, Shigeki; Honda, Takeo; Oda, Kiroku; Fujii, Katsutoshi; Kobayashi, Ryuji; Kojima, Mikio
PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 54002327	A2	19790109	JP 1977-67033	19770607

PRIORITY APPLN. INFO.: JP 1977-67033 19770607
GI



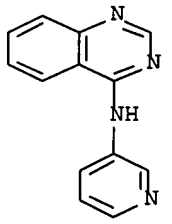
I

AB Aminoquinazolines I(R = H or alkyl; X = 2-tetrahydrofuryl, pyridyl, pyrrolidinyl, etc.; Y and Z = H or halo; n = 1 or 2) are microbiocides. Synthesis of I is given. Thus, 500 ppm 6-chloro-4- furfurylaminoquinazoline [70128-50-6] controlled Cochliobolus miyabeanus infection in rice.

IT 70128-59-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and microbiocidal activity of)

RN 70128-59-5 CAPLUS

CN 4-Quinazolinamine, N-3-pyridinyl- (9CI) (CA INDEX NAME)



L13 ANSWER 18 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1970:90502 CAPLUS Full-text

DOCUMENT NUMBER: 72:90502

TITLE: Stimulant and antidepressant 4-(substituted amino) quinazolines

INVENTOR(S): Hardtmann, Goetz E.; Ott, Hans

PATENT ASSIGNEE(S): Sandoz Ltd.

SOURCE: U.S., 3 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3470182	A	19690930	US 1967-614813	19670209

PRIORITY APPLN. INFO.: US 1967-614813 19670209

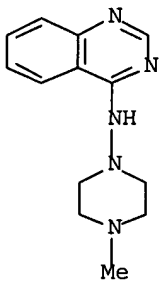
GI For diagram(s), see printed CA Issue.

AB 4-Amino-substituted quinazolines (I) are synthesized and can be used as central nervous system stimulants and antidepressants. The compds. are prepared by reacting a 4-haloquinazoline with an appropriate amine at room or elevated temps. When a solvent is employed, it is preferably carried out in the presence of a tertiary amine, e.g. Et3N, to take up the HX liberated during the reaction. When the amine is used as solvent, then a sufficient excess is allowed to be present to react with the liberated HX. A

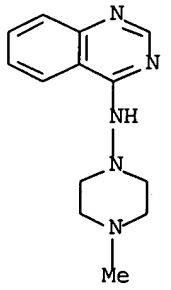
representative formulation for oral administration is given as well as pharmaceutical data. Compds. I prepared were (R given): 4-methyl-1-piperazinyl, an oil, di-HCl salt m. 290-4°; 4-(β-hydroxyethyl)-1-piperazinyl, an oil, di-HCl salt, m. 241-43°; 4-phenyl-1-piperazinyl, an oil, di-HCl saltm. 225-30°; 1-methyl-4-piperidylamino, 179-81°; di-HCl salt m. 297-300°; [β-(2-pyridyl)ethyl]amino, m. 204-7°; 2-indanylamino, m. 204-7°; [β-(3-indolyl)ethyl]amino, m. 162-70° g.

IT 26731-89-5P 26731-90-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 26731-89-5 CAPLUS
CN Quinazoline, 4-[(4-methyl-1-piperazinyl)amino]- (8CI) (CA INDEX NAME)



RN 26731-90-8 CAPLUS
CN Quinazoline, 4-[(4-methyl-1-piperazinyl)amino]-, dihydrochloride (8CI) (CA INDEX NAME)



●2 HCl

L13 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:931342 CAPLUS Full-text
DOCUMENT NUMBER: 140:791
TITLE: Treatment of fibroproliferative disorders using TGF-β inhibitors
INVENTOR(S): Chakravarty, Sarvajit; Dugar, Sundeep; Higgins, Linda S.; Kapoun, Ann M.; Liu, David Y.; Schreiner, George F.; Protter, Andrew A.; Tran, Thomas-Toan
PATENT ASSIGNEE(S): Scios, Inc., USA

SOURCE: PCT Int. Appl., 114 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003097615	A1	20031127	WO 2003-US15514	20030516

W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

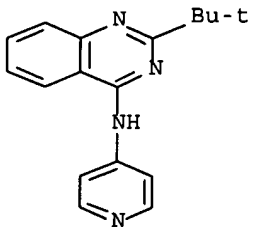
PRIORITY APPLN. INFO.: US 2002-381720P P 20020517
US 2003-440428 A 20030516

OTHER SOURCE(S): MARPAT 140:791

AB The invention concerns methods of treating fibroproliferative disorders associated with TGF-β signaling, by administering non-peptide small mol. inhibitors of TGF-β specifically binding to the type I TGF-β receptor (TGFβ-R1). Preferably, the inhibitors are quinazoline derivs. The invention also concerns methods for reversing the effect of TGF-β mediated cell activation on the expression of a gene associated with fibrosis, comprising contacting a cell or tissue in which the expression of such gene is altered as a result of TGF-β mediated cell activation, with a non-peptide small mol. inhibitor of TGF-β, specifically binding a TGFβ-R1 receptor kinase present in the cell or tissue.

IT 627535-98-2
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treatment of fibroproliferative disorders using TGF-β inhibitors)

RN 627535-98-2 CAPLUS
CN 4-Quinazolinamine, 2-(1,1-dimethylethyl)-N-4-pyridinyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

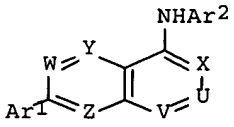
L13 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:591156 CAPLUS Full-text
DOCUMENT NUMBER: 139:149640
TITLE: Preparation of substituted quinazolin-4-ylamine analogs as VR1 capsaicin receptor antagonists for relieving pain
INVENTOR(S): Bakthavatchatam, Rajagopal; Blum, Charles A.; Brielmann, Harry L.; Caldwell, Timothy M.; De Lombaert, Stephane
PATENT ASSIGNEE(S): Neurogen Corporation, USA
SOURCE: PCT Int. Appl., 294 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003062209	A2	20030731	WO 2003-US1563	20030117
WO 2003062209	A3	20030904		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-349920P P 20020117
US 2002-350527P P 20020122
OTHER SOURCE(S): MARPAT 139:149640
GI



I

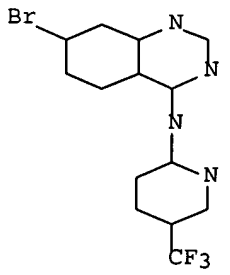
AB Substituted quinazolin-4-ylamine analogs (shown as I; variables defined below; e.g. (4-trifluoromethylphenyl)[7-(2-trifluoromethylphenyl)quinazoli n-4-yl]amine) are provided. Such compds. are ligands that may be used to modulate VR1 capsaicin receptor activity in vivo or in vitro (no data), and are particularly useful in the treatment of conditions associated with pathol. receptor activation in humans, domesticated companion animals and livestock animals. Pharmaceutical compns. and methods for using them to treat such disorders are provided, as are methods for using such ligands for receptor localization studies. For I; V, X, W, Y and Z are each independently N or CR1, with the proviso that at least one of V and X is N; U is N or CR2, with the proviso that if V and X are N, then U is CR2; R1 = H, halogen, hydroxy,

amino, C1-C8 alkyl, haloC1-C8alkyl, C1-C8alkoxy, haloC1-C8alkoxy and mono- and di(C1-C8alkyl)amino. R2 = (i) H, halogen, cyano, or -COOH; (ii) C1-C8alkanoyl, C2-C8alkanone, or C1-C8carbamate, each of which is (un)substituted with 1-9 substituents = Rb, or (iii) -Rc-M-A-Ry, wherein: Rc is C0-C3alkyl; M is a bond, N(Rz), O, S, SO2, (C:O)pN(Rz), N(Rz)(C:O)p, SO2N(Rz), or N(Rz)SO2, wherein p is 0 or 1; A is a bond or C1-C8alkyl, (un)substituted with 1-3 Rb. Ry and Rz, if present, are: (a) independently H, C1-C8alkyl, C2-C8alkenyl, C2-C8alkynyl, C6-C10arylC1-C8alkyl, C2-C8alkyl ether, C1-C8alkoxy, a 4- to 10-membered carbocycle or heterocycle, or joined to R1 to form a 4- to 10-membered carbocycle or heterocycle, wherein each Ry and Rz = (un)substituted with 1-9 Rb; or (b) joined to form a 4- to 10-membered carbocycle or heterocycle that is (un)substituted with 1-9 Rb; Ar2 is a 5- to 7-membered aromatic heterocycle, (un)substituted with 1-3 LRa. Ar1 is a 5- to 10-membered aromatic carbocycle or heterocycle, (un)substituted with 1-3 LRa; L = bond, -O-, -C(O)-, -OC(O)-, -C(O)O-, -O-C(O)O-, -S(O)m-, -NRx-, -C(O)NHRx-, -NHRxC(O)-, -NRxS(O)m-, -S(O)mNRx- and -N[S(O)mRx]S(O)m-; wherein m = 0, 1 and 2; and Rx = H and C1-C8alkyl; Ra = (i) H, halogen, cyano and nitro; and (ii) C1-C8alkyl, C2-C8alkenyl, C2-C8alkynyl, C2-C8alkyl ether, 3- to 10-membered heterocycles, mono- and di(C1-C8alkyl)amino and (3- to 10-membered heterocycle)C1-C6 alkyl, each of which is (un)substituted with 1-9 Rb. Rb = hydroxy, halogen, amino, aminocarbonyl, amido, cyano, nitro, C1-C8alkyl, C1-C8alkoxy, C1-C8alkylthio, C1-C8alkyl ether, hydroxyC1-C8alkyl, haloC1-C8alkyl, Ph, phenyl(C1-C8alkyl), mono and di(C1-C6 alkyl)amino, (SO2)C1-C8alkyl, 5- to 7-membered heterocycle and (5- to 7-membered heterocycle)(C1-C8alkyl). Although the methods of preparation are not claimed, many example prepns. and characterization data for >500 examples of I are included.

IT 573675-58-8P, (7-Bromoquinazolin-4-yl)(5-trifluoromethylpyridin-2-yl)amine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted quinazolin-4-ylamine analogs as VR1 capsaicin receptor antagonists for relieving pain and for detecting receptors)

RN 573675-58-8 CAPLUS

CN 4-Quinazolinamine, 7-bromo-N-[5-(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)



*** FRAGMENT DIAGRAM IS INCOMPLETE ***

L13 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:228867 CAPLUS Full-text

DOCUMENT NUMBER: 134:266318

TITLE: Preparation of quinazolines as aurora 2 kinase inhibitors

INVENTOR(S): Mortlock, Andrew Austen; Keen, Nicholas John

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

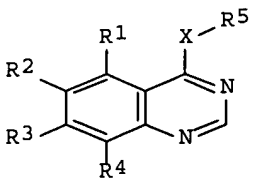
SOURCE: PCT Int. Appl., 208 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021597	A1	20010329	WO 2000-GB3593	20000919
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000014137	A	20020521	BR 2000-14137	20000919
EP 1218355	A1	20020703	EP 2000-960850	20000919
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003509500	T2	20030311	JP 2001-524976	20000919
EE 200200118	A	20030415	EE 2002-118	20000919
AU 762697	B2	20030703	AU 2000-73019	20000919
BG 106526	A	20021031	BG 2002-106526	20020318
NO 2002001400	A	20020506	NO 2002-1400	20020320

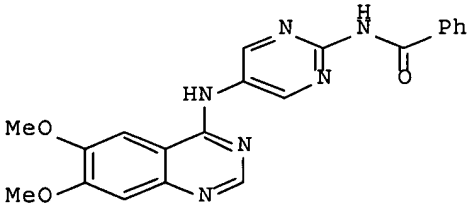
PRIORITY APPLN. INFO.: GB 1999-22171 A 19990921
WO 2000-GB3593 W 20000919

OTHER SOURCE(S): MARPAT 134:266318
GI

Applicant's PCT



I



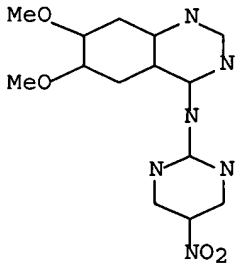
II

AB Title compds. (I) [wherein X = O, S, SO, SO2, NH, or NR6; R6 = H or alkyl; R5 = (un)substituted 6-membered aromatic ring containing at least one N; R1-R4 = independently halo, CN, NO2, alkylsulfanyl, N(OH)R7, or R9X1; R7 = H or alkyl; X1 = a direct bond, O, CH2, OC(O), CO, S, SO, SO2, or (un)substituted NHCO, CONH, SO2NH, NHSO2, or NH; R9 = H or (un)substituted hydrocarbyl, heterocyclyl, or alkoxy; and at least one of R2 or R3 is other than H; or a salt, ester, amide, or prodrug thereof] were prepared as aurora 2 kinase inhibitors for the treatment of proliferative diseases, such as cancer. For example, 2-(N-benzoylamino)-5-aminopyrimidine and 4-chloro-6,7-dimethoxyquinazoline were coupled in i-PrOH to yield II (58%). The latter inhibited the serine/threonine kinase activity of aurora 2 kinase by 50% at a

concentration of 0.00785 μM. In addition, II gave 50% inhibition of MCF-7 cell proliferation at 1.7 μM and reduced BrdU incorporation into cellular DNA by 50% at 1.92-2.848 μM.

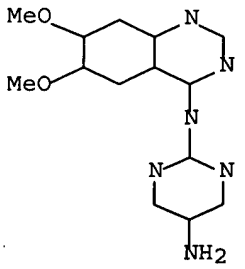
IT 331806-50-9P 331806-55-4P 331809-08-6P
331809-09-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediates; preparation of substituted quinazoline derivs. as inhibitors
of aurora 2 kinase for the treatment of breast and colorectal cancers)

RN 331806-50-9 CAPLUS
CN 4-Quinazolinamine, 6,7-dimethoxy-N-(5-nitro-2-pyrimidinyl)- (9CI) (CA INDEX NAME)



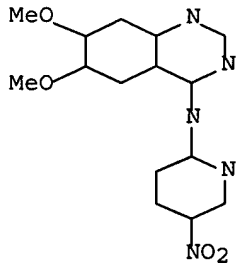
*** FRAGMENT DIAGRAM IS INCOMPLETE ***

RN 331806-55-4 CAPLUS
CN 2,5-Pyrimidinediamine, N2-(6,7-dimethoxy-4-quinazolinyl)- (9CI) (CA INDEX NAME)



*** FRAGMENT DIAGRAM IS INCOMPLETE ***

RN 331809-08-6 CAPLUS
CN 4-Quinazolinamine, 6,7-dimethoxy-N-(5-nitro-2-pyridinyl)- (9CI) (CA INDEX NAME)



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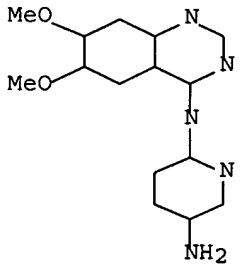
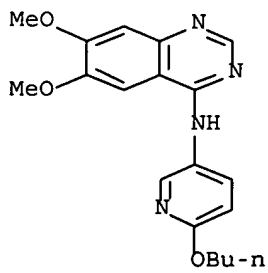
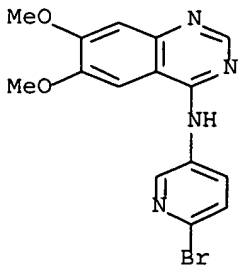
RN 331809-09-7 CAPLUS
CN 2,5-Pyridinediamine, N2-(6,7-dimethoxy-4-quinazolinyl)- (9CI) (CA INDEX NAME)



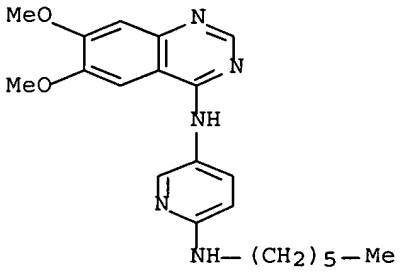
*** FRAGMENT DIAGRAM IS INCOMPLETE ***
IT 331803-48-6P 331803-53-3P 331803-64-6P
331803-89-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compds.; preparation of substituted quinazoline derivs. as inhibitors of aurora 2 kinase for the treatment of breast and colorectal cancers)
RN 331803-48-6 CAPLUS
CN 4-Quinazolinamine, N-(6-butoxy-3-pyridinyl)-6,7-dimethoxy- (9CI) (CA INDEX NAME)



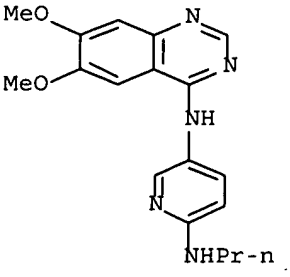
RN 331803-53-3 CAPLUS
CN 4-Quinazolinamine, N-(6-bromo-3-pyridinyl)-6,7-dimethoxy- (9CI) (CA INDEX NAME)



RN 331803-64-6 CAPLUS
CN 2,5-Pyridinediamine, N5-(6,7-dimethoxy-4-quinazolinyl)-N2-hexyl- (9CI) (CA INDEX NAME)



RN 331803-89-5 CAPLUS
CN 2,5-Pyridinediamine, N5-(6,7-dimethoxy-4-quinazolinyl)-N2-propyl- (9CI) (CA INDEX NAME)



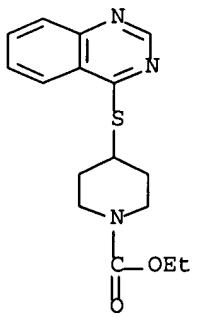
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 4 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:860680 CAPLUS Full-text
DOCUMENT NUMBER: 134:157196
TITLE: Synthesis and analgesic activity of some quinazoline analogs of anpirtoline
AUTHOR(S): Radl, Stanislav; Hezky, Petr; Proska, Jan; Krejci, Ivan
CORPORATE SOURCE: Research Institute of Pharmacy and Biochemistry, Prague, 13060, Czech Rep.
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (2000), 333(11), 381-386
CODEN: ARPMAS; ISSN: 0365-6233
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:157196

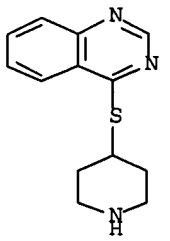
AB New condensed derivs. of anpirtoline, in which the pyridine ring is replaced with quinoline, quinazoline, 7-chloroquinoline, and 7-chloroquinazoline nuclei, have been synthesized. Their receptor binding profiles (5-HT1A, 5-HT1B) and analgesic activity (hot plate, acetic acid induced writhing) have been studied. The analgesic activity of some of the compds. are comparable to that of clin. used drugs flupirtine and tramadol under the same conditions.

IT 232618-27-8P 232618-31-4P 232618-36-9P
325145-97-9P 325145-98-0P 325145-99-1P
325146-00-7P 325146-01-8P 325146-03-0P
325146-04-1P 325146-05-2P 325146-06-3P
325146-07-4P 325146-08-5P 325146-09-6P
325146-11-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and analgesic activity of quinazoline analogs of anpirtoline)

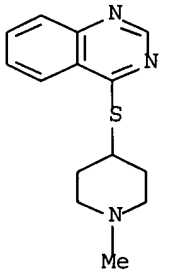
RN 232618-27-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(4-quinazolinylthio)-, ethyl ester (9CI) (CA INDEX NAME)



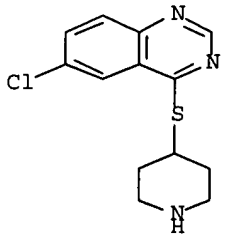
RN 232618-31-4 CAPLUS
CN Quinazoline, 4-(4-piperidinylthio)- (9CI) (CA INDEX NAME)



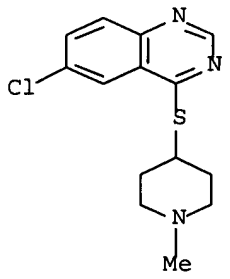
RN 232618-36-9 CAPLUS
CN Quinazoline, 4-[(1-methyl-4-piperidinyl)thio]- (9CI) (CA INDEX NAME)



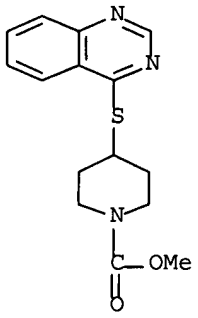
RN 325145-97-9 CAPLUS
CN Quinazoline, 6-chloro-4-(4-piperidinylthio)- (9CI) (CA INDEX NAME)



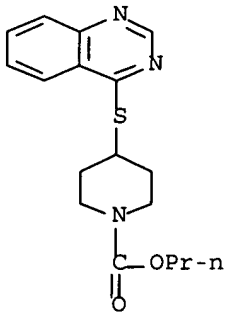
RN 325145-98-0 CAPLUS
CN Quinazoline, 6-chloro-4-[(1-methyl-4-piperidinyl)thio]- (9CI) (CA INDEX NAME)



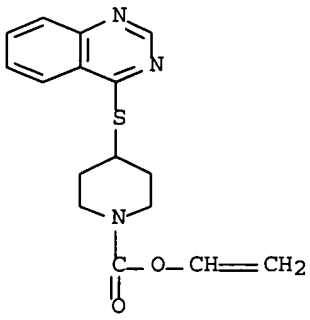
RN 325145-99-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(4-quinazolinylthio)-, methyl ester (9CI) (CA INDEX NAME)



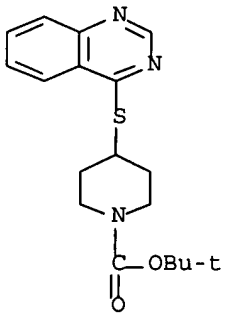
RN 325146-00-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(4-quinazolinylthio)-, propyl ester (9CI) (CA INDEX NAME)



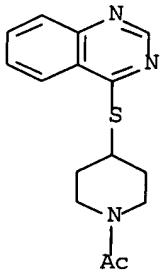
RN 325146-01-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(4-quinazolinylthio)-, ethenyl ester (9CI) (CA INDEX NAME)



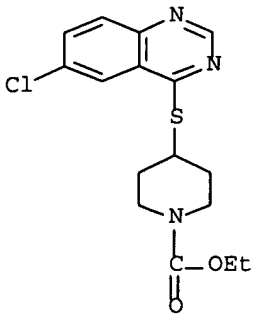
RN 325146-03-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(4-quinazolinylthio)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



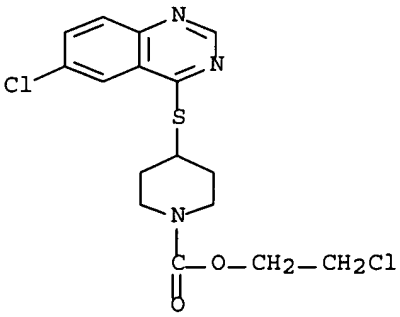
RN 325146-04-1 CAPLUS
CN Piperidine, 1-acetyl-4-(4-quinazolinylthio)- (9CI) (CA INDEX NAME)



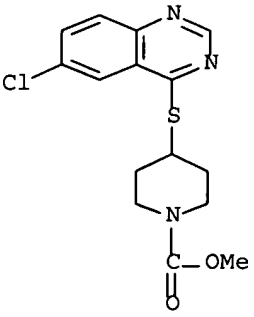
RN 325146-05-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(6-chloro-4-quinazolinyl)thio]-, ethyl ester (9CI) (CA INDEX NAME)



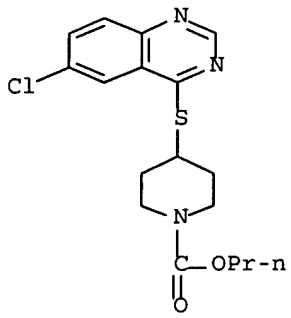
RN 325146-06-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(6-chloro-4-quinazolinyl)thio]-, 2-chloroethyl ester (9CI) (CA INDEX NAME)



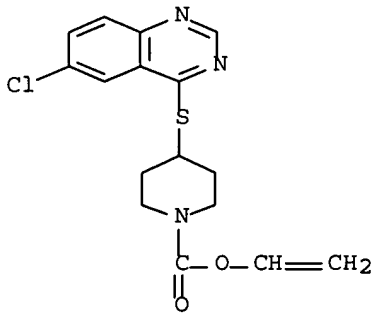
RN 325146-07-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(6-chloro-4-quinazolinyl)thio]-, methyl ester (9CI) (CA INDEX NAME)



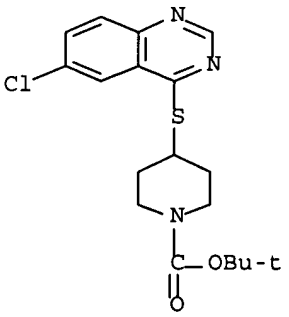
RN 325146-08-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(6-chloro-4-quinazolinyl)thio]-, propyl ester (9CI) (CA INDEX NAME)



RN 325146-09-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(6-chloro-4-quinazolinyl)thio]-, ethenyl ester (9CI) (CA INDEX NAME)



RN 325146-11-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(6-chloro-4-quinazolinyl)thio]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:776034 CAPLUS Full-text
DOCUMENT NUMBER: 134:112096

TITLE: Inhibitor potencies and substrate preference for endothelin-converting enzyme-1 are dramatically affected by pH

AUTHOR(S): Fahnoe, Douglass C.; Knapp, Jill; Johnson, Gary D.; Ahn, Kyunghye

CORPORATE SOURCE: Department of Biochemistry, Parke-Davis Pharmaceutical Research, Division of Warner Lambert Company, Ann Arbor, MI, 48105, USA

SOURCE: Journal of Cardiovascular Pharmacology (2000), 36(5, Suppl. 1), S22-S25
CODEN: JCPCDT; ISSN: 0160-2446

PUBLISHER: Lippincott Williams & Wilkins

DOCUMENT TYPE: Journal

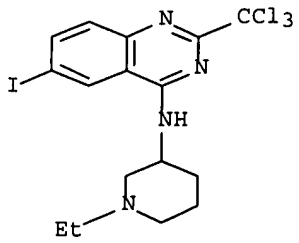
LANGUAGE: English

AB Phosphoramidon has been shown to inhibit endothelin-converting enzyme-1 (ECE-1) in a markedly pH-dependent manner. In order to determine whether this dramatic pH-dependence is a general phenomenon of ECE-1, 2 structurally unrelated ECE-1 inhibitors, PD 069185 and CGS 31447, were tested for ECE-1 inhibition at various pH values. The data indicated that the potencies of these ECE-1 inhibitors were also highly affected by pH. ECE-1 is known to have a very sharp activity optimum at neutral pH which is in marked contrast to the acidic pH optimum for ECE-2. However, the authors' results shows that the pH optimum for ECE-1 activity is highly substrate-dependent. ECE-1 hydrolyzes the small peptide hormones, bradykinin and substance P, with acidic pH optima of 5.6-5.8, which sharply contrasts the neutral pH optimum with big ET-1 as substrate. These data suggest that the substrate preference for ECE-1 is highly affected by pH and that this pH-dependence for substrate preference might be one way of controlling the specificity of the enzyme in vivo.

IT 179598-61-9, PD 069185
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitor; inhibitor potencies and substrate preference for endothelin-converting enzyme-1 are dramatically affected by pH)

RN 179598-61-9 CAPLUS

CN 4-Quinazolinamine, N-(1-ethyl-3-piperidinyl)-6-iodo-2-(trichloromethyl)-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 6 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:688226 CAPLUS Full-text

DOCUMENT NUMBER: 133:266866

TITLE: Preparation of quinazolines as antitumor agents

INVENTOR(S): Uckun, Fatih M.; Liu, Xing-ping; Narla, Rama K.

PATENT ASSIGNEE(S): Parker Hughes Institute, USA

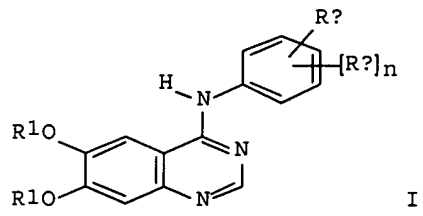
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000056720	A1	20000928	WO 2000-US6902	20000316
W: AE, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6258820	B1	20010710	US 1999-357404	19990720
EP 1163228	A1	20011219	EP 2000-921389	20000316
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002540103	T2	20021126	JP 2000-606581	20000316
US 2001016588	A1	20010823	US 2001-779809	20010208
US 6358962	B2	20020319		
US 2002137757	A1	20020926	US 2001-923903	20010807
US 6638939	B2	20031028		
NO 2001004560	A	20010919	NO 2001-4560	20010919

PRIORITY APPLN. INFO.:

US 1999-125145P	P	19990319
US 1999-125177P	P	19990319
US 1999-125338P	P	19990319
US 1999-357404	A	19990720
WO 2000-US6902	W	20000316
US 2001-779809	A1	20010208

OTHER SOURCE(S): MARPAT 133:266866
GI

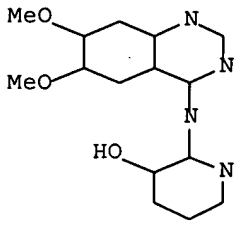


I

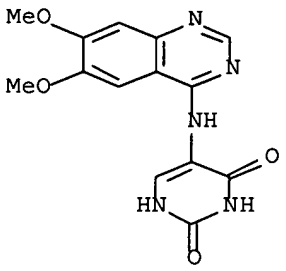
AB The title compds. [I; Ra = I, hydroxyalkyl, methylenedioxy, etc.; n = 1-4; Rb = H, halo, OH, etc.; R1 = alkyl], useful for the treatment of cancer (e.g., leukemia and breast cancer) and for the treatment of allergic reactions, were prepared by reacting 4-chloro-6,7-dimethoxyquinazoline with the substituted aniline. Biol. data for compds. I were given.

IT 296234-55-4P 296234-59-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolines as antitumor agents)
RN 296234-55-4 CAPLUS
CN 3-Pyridinol, 2-[(6,7-dimethoxy-4-quinazolinyl)amino]- (9CI) (CA INDEX NAME)



*** FRAGMENT DIAGRAM IS INCOMPLETE ***
RN 296234-59-8 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 5-[(6,7-dimethoxy-4-quinazolinyl)amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 7 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:688094 CAPLUS Full-text
DOCUMENT NUMBER: 133:271682
TITLE: Preparation of quinazolines for micellar pharmaceuticals for treatment of allergy and cancer
INVENTOR(S): Yiv, Seang; Li, Mingshu; Uckun, Fatih M.
PATENT ASSIGNEE(S): Parker Hughes Institute, USA
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000056338	A1	20000928	WO 2000-US7066	20000317

W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI, GB,

GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1162974 A1 20011219 EP 2000-914991 20000317

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

JP 2002539262 T2 20021119 JP 2000-606242 20000317

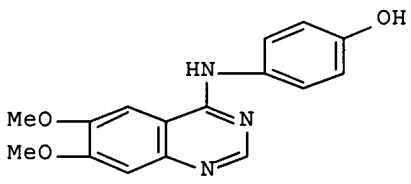
US 2002111360 A1 20020815 US 2001-960464 20010919

PRIORITY APPLN. INFO.: US 1999-125147P P 19990319

WO 2000-US7066 W 20000317

OTHER SOURCE(S): MARPAT 133:271682

GI



I

AB Pharmaceutical compns. for parenteral administration of poorly soluble quinazoline compds. in the form of microemulsions or micellar solns. are described. The compns. are useful in treating patients suffering from cancer or having allergic reactions. E.g., I was prepared, its soly profile given, and micellar solns. containing PEGylated phosphatidylethanolamines were effective in enhancing the solubilization of I.

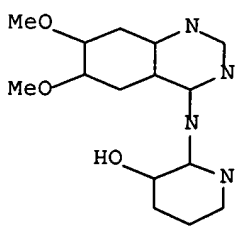
IT 296234-55-4P 296234-59-8P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

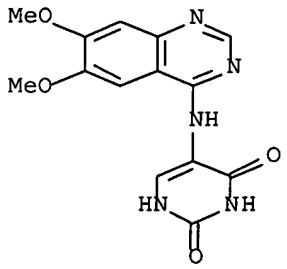
(preparation of quinazolines for micellar pharmaceuticals for treatment of allergy and cancer)

RN 296234-55-4 CAPLUS

CN 3-Pyridinol, 2-[(6,7-dimethoxy-4-quinazolinyl)amino]- (9CI) (CA INDEX NAME)



*** FRAGMENT DIAGRAM IS INCOMPLETE ***
RN 296234-59-8 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 5-[(6,7-dimethoxy-4-quinazolinyl)amino]- (9CI) (CA INDEX NAME)

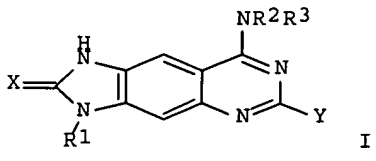


REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 8 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:612064 CAPLUS Full-text
DOCUMENT NUMBER: 133:193165
TITLE: Preparation of imidazoquinazolines and cyclic guanosine 3',5'-monophosphate-specific phosphodiesterase inhibitors
INVENTOR(S): Onoda, Yasuo; Machii, Daisuke; Nomoto, Yuji; Takai, Haruki; Ono, Satoshi; Ichimura, Michiaki
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 16 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000239277	A2	20000905	JP 1999-41567	19990219

PRIORITY APPLN. INFO.: JP 1999-41567 19990219
OTHER SOURCE(S): MARPAT 133:193165
GI



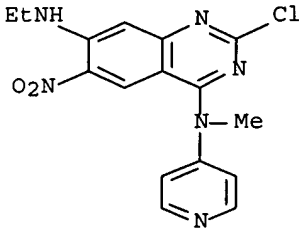
I

AB Title compds. I [R1 = lower alkyl cycloalkyl, lower alkenyl, aralkyl, aryl, etc.; R2, R3 = H, alkyl, cycloalkyl, lower alkenyl, aralkyl, aryl, etc.; X = O, S; Y = OR4, SR5, NR6R7; R4, R5 = lower alkyl, cycloalkyl, lower alkenyl,

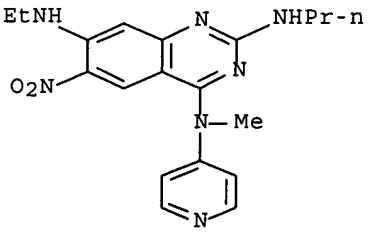
aralkyl, etc.; R6, R7 = H, lower alkyl, cycloalkyl, alkenyl, aralkyl, aryl, etc.; R6R7 = N-containing heterocyclic ring]. 7-Ethylamino-6-nitro-2-propylamino-4-(4-pyridylmethylamino)quinazoline was hydrogenated with Pd/C in EtOH-THF mixture for 8 h and reacted with CS2 in the presence of Et3N in EtOH at room temperature overnight to give 65% 3-ethyl-6-propylamino-8-(4-pyridylmethylamino)-2,3-dihydro-1H-imidazo[4,5- g]quinazoline-2-thione, which was treated with HCl in AcOEt to give their HCl salt showing good antihypertensive activity.

IT 289660-30-6P 289660-33-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of imidazoquinazolines and cyclic guanosine monophosphate-specific phosphodiesterase inhibitors)

RN 289660-30-6 CAPLUS
CN 4,7-Quinazolinediamine, 2-chloro-N7-ethyl-N4-methyl-6-nitro-N4-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 289660-33-9 CAPLUS
CN 2,4,7-Quinazolinetriamine, N7-ethyl-N4-methyl-6-nitro-N1-propyl-N4-4-pyridinyl- (9CI) (CA INDEX NAME)

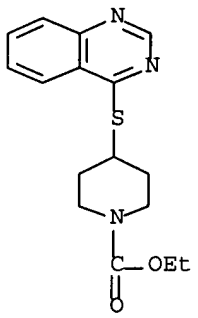


L13 ANSWER 9 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:410148 CAPLUS Full-text
DOCUMENT NUMBER: 131:111116
TITLE: Synthesis and analgesic activity of some condensed analogs of anpirtoline
AUTHOR(S): Radl, Stanislav; Kovarova, Lenka; Hezky, Petr; Vosatka, Vaclav; Konigova, Otylie; Proska, Jan; Krejci, Ivan
CORPORATE SOURCE: Research Institute Pharmacy Biochemistry, Prague, 13060, Czech Rep.
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1999),

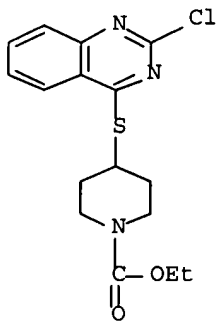
332(6), 208-212
CODEN: ARPMAS; ISSN: 0365-6233
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Condensed derivs. of anpirtoline, in which the pyridine ring is replaced with quinoline, isoquinoline, quinazoline, and phthalazine nuclei, were synthesized. Their receptor binding profiles (5HT1A, 5-HT1B) and analgesic activity (hot plate, AcOH-induced writhing) were studied. The analgesic activity of 4 of the compds. are at least comparable to that of the clin. used drugs flupirtine and tramadol under the same conditions.

IT 232618-27-8P 232618-28-9P 232618-32-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and 5-HT1-agonistic and analgesic activity of condensed analogs of anpirtoline)

RN 232618-27-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(4-quinazolinylthio)-, ethyl ester (9CI) (CA INDEX NAME)



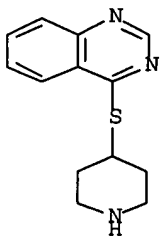
RN 232618-28-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(2-chloro-4-quinazolinyl)thio]-, ethyl ester (9CI) (CA INDEX NAME)



RN 232618-32-5 CAPLUS
CN Quinazoline, 4-(4-piperidinylthio)-, monoacetate (9CI) (CA INDEX NAME)

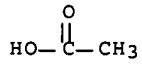
CM 1

CRN 232618-31-4
CMF C13 H15 N3 S

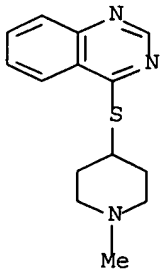


CM 2

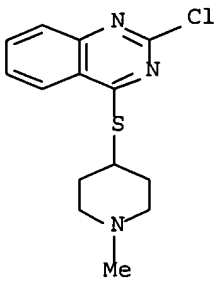
CRN 64-19-7
CMF C2 H4 O2



IT 232618-36-9P 232618-37-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and 5-HT1-agonistic and analgesic activity of condensed analogs
of anpirtoline)
RN 232618-36-9 CAPLUS
CN Quinazoline, 4-[(1-methyl-4-piperidinyl)thio]- (9CI) (CA INDEX NAME)



RN 232618-37-0 CAPLUS
CN Quinazoline, 2-chloro-4-[(1-methyl-4-piperidinyl)thio]- (9CI) (CA INDEX NAME)



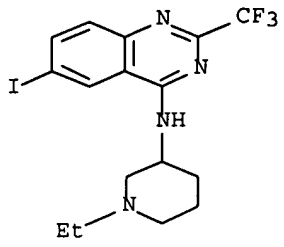
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 10 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:94200 CAPLUS Full-text
DOCUMENT NUMBER: 128:229133
TITLE: Novel selective quinazoline inhibitors of endothelin converting enzyme-1
AUTHOR(S): Ahn, Kyunghye; Sisneros, Andre M.; Herman, Sarah B.; Pan, Sharon M.; Hupe, Donald; Lee, Chitase; Nikam, Sham; Cheng, Xue-Min; Doherty, Annette M.; Schroeder, Richard L.; Haleen, Stephen J.; Kaw, Semiko; Emoto, Noriaki; Yanagisawa, Masashi
CORPORATE SOURCE: Division of Warner-Lambert Company, Department of Biochemistry, Parke-Davis Pharmaceutical Research, Ann Arbor, MI, 48105, USA
SOURCE: Biochemical and Biophysical Research Communications (1998), 243(1), 184-190
CODEN: BBRCA9; ISSN: 0006-291X
PUBLISHER: Academic Press
DOCUMENT TYPE: Journal
LANGUAGE: English

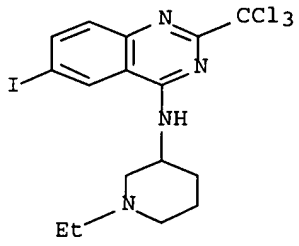
AB PD 069185 is a highly selective and structurally novel inhibitor of endothelin converting enzyme-1 (ECE-1). PD 069185 is a trisubstituted quinazoline with an IC50 value of 0.9 μM for inhibition of human ECE-1 from the solubilized membrane fraction of CHO cells stably transfected with human ECE-1 cDNA. Kinetic anal. revealed that PD 0691 85 is best fit with a competitive inhibition model with a Ki value of 1.1 μM and binds in a reversible manner. The closely related enzyme, ECE-2, is not inhibited at up to 100 μM PD 069185. In addition, PD 069185 at 200-300 μM has little effect on other metalloproteases, such as neutral endopeptidase 24.11, stromelysin, gelatinase A, and collagenase, showing a high ECE-1 specificity. Data are also presented to show that this series of inhibitors are effective in inhibiting ECE-1 in intact cells and in attenuating the increase in perfusion pressure induced by big ET-1 in isolated rat mesentery. These non-peptidic ECE-1 inhibitors should serve as a valuable tool to study the pathophysiol. role of endothelin and the therapeutic potential of ECE-1 inhibitors.

IT 179598-53-9, PD 159790 179598-61-9, PD 069185
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(characterization of novel selective quinazoline inhibitors of endothelin converting enzyme-1)

RN 179598-53-9 CAPLUS
CN 4-Quinazolinamine, N-(1-ethyl-3-piperidinyl)-6-iodo-2-(trifluoromethyl)-(9CI) (CA INDEX NAME)



RN 179598-61-9 CAPLUS
CN 4-Quinazolinamine, N-(1-ethyl-3-piperidinyl)-6-iodo-2-(trichloromethyl)-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

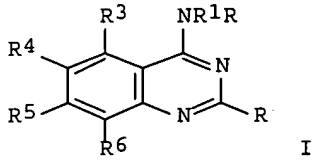
L13 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:494195 CAPLUS Full-text
DOCUMENT NUMBER: 125:142765
TITLE: Preparation of quinazolineamines and analogs as endothelin converting enzyme inhibitors
INVENTOR(S): Ahn, Kyunghye; Cheng, Xue-Min; Doherty, Annette Marian; Elslager, Edward Faith; Kornberg, Brian; Lee, Chitase; Leonard, Daniele; Nikam, Sham Shribhar; Werbel, Leslie Morton
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: PCT Int. Appl., 103 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9619474	A1	19960627	WO 1995-US15366	19951127
W: CA, EE, JP, LT, LV, MX, SI				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5658902	A	19970819	US 1994-363104	19941222
CA 2206046	AA	19960627	CA 1995-2206046	19951127
EP 799221	A1	19971008	EP 1995-941477	19951127

EP 799221	B1	20021030				
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV						
JP 10510834	T2	19981020		JP 1995-519802		19951127
AT 226951	E	20021115		AT 1995-941477		19951127
PT 799221	T	20030331		PT 1995-95941477		19951127
ES 2186734	T3	20030516		ES 1995-941477		19951127
US 5773444	A	19980630		US 1997-837176		19970414
PRIORITY APPLN. INFO.:			US	1994-363104	A	19941222
			WO	1995-US15366	W	19951127

OTHER SOURCE(S): MARPAT 125:142765

GI



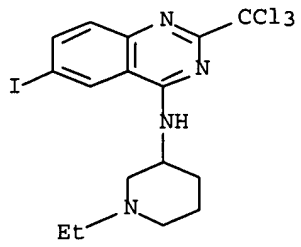
I

AB Title compds. [e.g., I; R = (halo)alkyl, (hetero)aryl(alkyl); R1 = substituted alkyl, heterocyclyl, etc.; R2 = H or alkyl; NR1R2 = heterocyclyl; R3-R6 = H, halo, alkyl, alkoxy, etc.] were prepared Thus, 5-iodoanthranilic acid was cyclocondensed with a trichloroacetimidate and the chlorinated product aminated by 3-amino-1-ethylpiperidine to give I (R = CCl3, R1 = 1-ethyl-3-piperidinyl, R3 = R5 = R6 = H, R4 = iodo) which had IC50 of 6.6μM in a EAhy926 cell-based assay.

IT 179598-37-9P 179598-39-1P 179598-40-4P
179598-41-5P 179598-50-6P 179598-53-9P
179598-61-9P 179598-62-0P 179598-63-1P
179598-64-2P 179598-65-3P 179598-66-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolineamines and analogs as endothelin converting enzyme inhibitors)

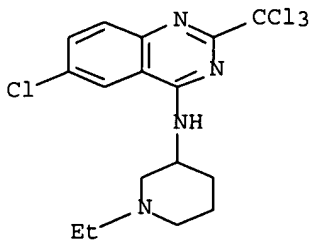
RN 179598-37-9 CAPLUS

CN 4-Quinazolinamine, N-(1-ethyl-3-piperidinyl)-6-iodo-2-(trichloromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



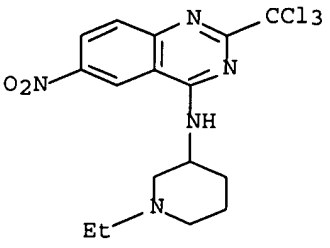
● HCl

RN 179598-39-1 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(1-ethyl-3-piperidinyl)-2-(trichloromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



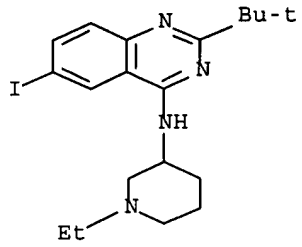
● HCl

RN 179598-40-4 CAPLUS
CN 4-Quinazolinamine, N-(1-ethyl-3-piperidinyl)-6-nitro-2-(trichloromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

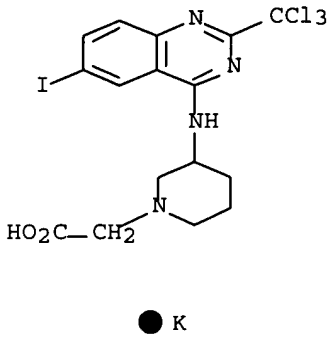


● HCl

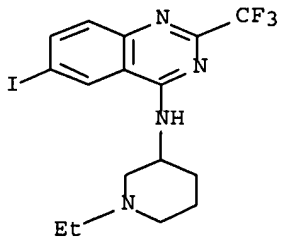
RN 179598-41-5 CAPLUS
CN 4-Quinazolinamine, 2-(1,1-dimethylethyl)-N-(1-ethyl-3-piperidinyl)-6-iodo- (9CI) (CA INDEX NAME)



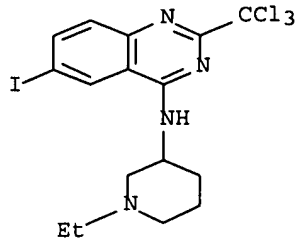
RN 179598-50-6 CAPLUS
CN 1-Piperidineacetic acid, 3-[[6-iodo-2-(trichloromethyl)-4-quinazolinyl]amino]-, monopotassium salt (9CI) (CA INDEX NAME)



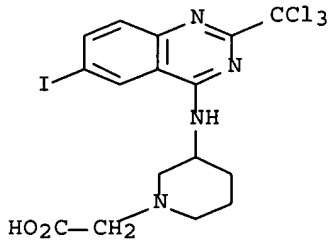
RN 179598-53-9 CAPLUS
CN 4-Quinazolinamine, N-(1-ethyl-3-piperidinyl)-6-iodo-2-(trifluoromethyl)-(9CI) (CA INDEX NAME)



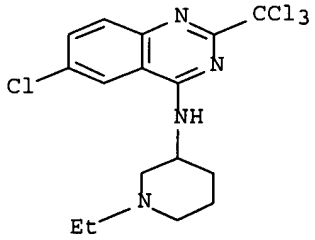
RN 179598-61-9 CAPLUS
CN 4-Quinazolinamine, N-(1-ethyl-3-piperidinyl)-6-iodo-2-(trichloromethyl)-(9CI) (CA INDEX NAME)



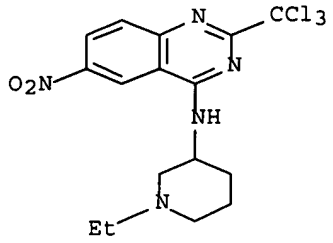
RN 179598-62-0 CAPLUS
CN 1-Piperidineacetic acid, 3-[[6-iodo-2-(trichloromethyl)-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)



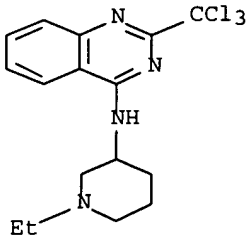
RN 179598-63-1 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(1-ethyl-3-piperidinyl)-2-(trichloromethyl)- (9CI) (CA INDEX NAME)



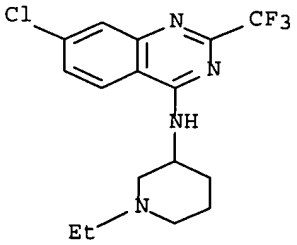
RN 179598-64-2 CAPLUS
CN 4-Quinazolinamine, N-(1-ethyl-3-piperidinyl)-6-nitro-2-(trichloromethyl)- (9CI) (CA INDEX NAME)



RN 179598-65-3 CAPLUS
CN 4-Quinazolinamine, N-(1-ethyl-3-piperidinyl)-2-(trichloromethyl)- (9CI) (CA INDEX NAME)

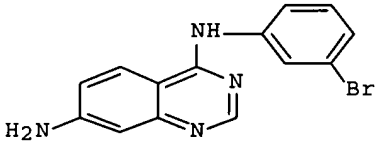


RN 179598-66-4 CAPLUS
CN 4-Quinazolinamine, 7-chloro-N-(1-ethyl-3-piperidinyl)-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)



L13 ANSWER 12 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:746894 CAPLUS Full-text
DOCUMENT NUMBER: 123:256632
TITLE: Tyrosine kinase inhibitors. 5. Synthesis and structure-activity relationships for 4-[(phenylmethyl)amino]- and 4-(phenylamino)quinazolines as potent adenosine 5'-triphosphate binding site inhibitors of the tyrosine kinase domain of the epidermal growth factor receptor.
AUTHOR(S): Rewcastle, Gordon W.; Denny, William A.; Bridges,

Alexander J.; Zhou, Hairong; Cody, Donna R.;
McMichael, Amy; Fry, David W.
CORPORATE SOURCE: School of Medicine, University of Auckland, Auckland, N. Z.
SOURCE: Journal of Medicinal Chemistry (1995), 38(18), 3482-7
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 123:256632
GI

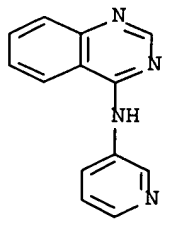


I

AB A series of 4-substituted quinazolines and related compds. have been prepared and evaluated for their ability to inhibit the tyrosine kinase activity of the epidermal growth factor receptor on a phospholipase C-γ1-derived substrate. The results show a narrow structure-activity relationship (SAR) for the basic ring system, with quinazoline being the preferred chromophore and benzylamino and anilino the preferred side chains. 4-Chloro-7-nitroquinazoline was heated with 3-bromoaniline and 3-bromoaniline hydrochloride in Me2CHOH to give 94% 4-[(3-bromophenyl)amino]-7-nitroquinazoline. Reflux of the latter with Fe in EtOH/AcOH gave 90% 7-amino-4-[(3-bromophenyl)amino]quinazoline(I). I inhibited phosphorylation of a 14 residue fragment of phospholipase C-γ1 by epidermal growth factor receptor with IC50 = 0.1 nM.

IT 70128-59-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of 4-[(phenylmethyl)amino]- and 4-(phenylamino)quinazolines and
related compds. as potent binding site inhibitors of the tyrosine kinase domain of the epidermal growth factor receptor)

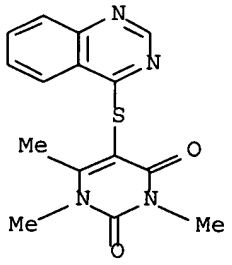
RN 70128-59-5 CAPLUS
CN 4-Quinazolinamine, N-3-pyridinyl- (9CI) (CA INDEX NAME)



ACCESSION NUMBER: 1995:731257 CAPLUS Full-text
DOCUMENT NUMBER: 123:339501
TITLE: Reactions of diazines with nucleophiles. IV. The reactivity of 5-bromo-1,3,6-trimethyluracil with thiolate ions - substitution versus X-philic versus single electron transfer reactions
AUTHOR(S): Kumar, Subodh; Chimni, Swapandeep Singh; Cannoo, Deepika; Arora, Jasbir Singh
CORPORATE SOURCE: Department Chemistry, Guru Nanak Dev University, Amritsar, 143 005, India
SOURCE: Bioorganic & Medicinal Chemistry (1995), 3(7), 891-7
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Reaction of 5-bromo-1,3,6-trimethyluracil with alkylthiolate (propane-1-, toluene-α-, allyl-, etc.) ions under phase transfer catalytic conditions follows nucleophilic substitution and X-philic (Br and S) elimination to give 5-alkylthio-1,3,6-trimethyluracils, 6-alkylthiomethyl-1,3-dimethyluracils and 1,3,6 -trimethyluracil. Reaction of 5-bromo-1,3,6-trimethyluracil with heteroarylthiolate ions (pyridine-2-, quinazoline-4-, uracil-2- and 4,6-dimethylpyrimidine-2- thiolate) gives only nucleophilic substitution products. However, arylthiolate (phenyl-, 4-chlorophenyl-, 2-aminophenyl-) ions follow a single electron transfer (SET) mechanism to give 5-arylthio-6- arylthiomethyl-1,3-dimethyluracils along with normal substitution products. 1,3,6-Trimethyluracil does not react with alkyl- or heteroaryl-thiolate ions but reacts with arylthiolate ions (SET) providing mainly 5-arylthio-1,3,6-trimethyluracils.

IT 170504-11-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(reactions of 5-bromo-1,3,6-trimethyluracil with thiolate ions)
RN 170504-11-7 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1,3,6-trimethyl-5-(4-quinazolinylthio)- (9CI) (CA INDEX NAME)



L13 ANSWER 14 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1988:70632 CAPLUS Full-text
DOCUMENT NUMBER: 108:70632
TITLE: Use of heterocyclic nitrogen-containing compounds for reducing moisture loss from plants and increasing crop yield
INVENTOR(S): Manning, David Treadway; Cappy, James Joseph; Cooke, Anson Richard; Sheads, Richard Eric; Wu, Tai Teh; Lopes, Anihal; Phillips, Jennifer Lyn; Outcalt,

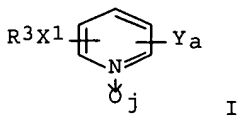
Russell James
PATENT ASSIGNEE(S): Union Carbide Agricultural Products Co., Inc., USA
SOURCE: PCT Int. Appl., 789 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8704321	A2	19870730	WO 1987-US240	19870123
WO 8704321	A3	19871105		
W: AU, BR, DK, FI, HU, JP, KR, LK, MW, NO, RO, SD, SU				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
DD 254318	A5	19880224	DD 1987-299404	19870122
ZA 8700480	A	19880928	ZA 1987-480	19870122
ES 2004071	A6	19881201	ES 1987-158	19870122
AU 8770316	A1	19870814	AU 1987-70316	19870123
EP 258391	A1	19880309	EP 1987-901826	19870123
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
BR 8705356	A	19880405	BR 1987-5356	19870123
JP 63502511	T2	19880922	JP 1987-501343	19870123
HU 45848	A2	19880928	HU 1987-1236	19870123
FI 8704111	A	19870921	FI 1987-4111	19870921
DK 8704961	A	19870922	DK 1987-4961	19870922

PRIORITY APPLN. INFO.:
US 1986-824389 19860123
US 1986-939416 19861215
WO 1987-US240 19870123

GI



I

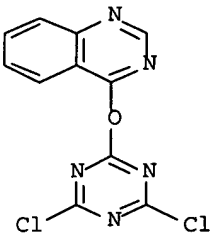
AB The title compds. R1XR2 [R1 = (un)substituted carbocyclic (aromatic or nonarom.) or heterocyclic ring; X = covalent single or double bond, (un)substituted heteroatom or substituted C, etc.; R2 = (un)substituted heterocyclic ring] are plant antitranspirants. The pyridines I [R3 = (un)substituted Ph, 1- or 2-naphthyl or heteroaryl; X1 = O, S, SO2, NH, CH2O, CH2S, etc.; Y = halo, alkyl, CN, polyhaloalkyl, alkoxy, etc.; a = 2-4, j = 0, 1] are novel compds. A solution of 12.4 g 4-methylthiophenol and 10.7 g 2,6-lutidine in 50 mL acetone was treated with 18.4 g cyanuric chloride in 200 mL acetone, to give 1.16 g 2,4-dichloro-6-(4- methylphenylthio)-1,3,5-triazine (II). II (1840 ppm) very markedly decreased transpiration rate and increased leaf diffusion resistance, in potted bean (Phaseolus vulgaris). In isolated pea chloroplasts, 2,4-dichloro-6-(2,6-dichlorophenoxy)-1,3,5-triazine (622 g/L) had no effect on photosynthetic electron transport, as shown by absence of O uptake inhibition. This was contrasted to 65% O uptake inhibition caused by the standard atrazine (108 g/L).

IT 112720-19-1P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except

adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as plant antitranspirant)

RN 112720-19-1 CAPLUS

CN Quinazoline, 4-[(4,6-dichloro-1,3,5-triazin-2-yl)oxy]- (9CI) (CA INDEX NAME)



L13 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1982:582339 CAPLUS Full-text

DOCUMENT NUMBER: 97:182339

TITLE: Quinazolines, their preparation and biological activity

AUTHOR(S): Schoenowsky, Hubert; Sachse, Burkhardt

CORPORATE SOURCE: Pflanzenschutzforsch.-Chem., Hoechst A.-G., Frankfurt/Main, D-6230/80, Fed. Rep. Ger.

SOURCE: Zeitschrift fuer Naturforschung, Teil B: Anorganische Chemie, Organische Chemie (1982), 37B(7), 907-11
CODEN: ZNBAD2; ISSN: 0340-5087

DOCUMENT TYPE: Journal

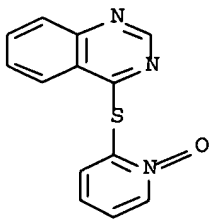
LANGUAGE: German

AB 4-Hydroxyquinazolines (I) were prepared by cyclocondensation of 2-aminobenzoic acids with formamide and were alkylated and arylated to give alkoxy- and (aryloxy)quinazolines. 4-Chloroquinazolines were prepared by treatment of I with PCl5/POCl3 and were converted into thio and amino compds. by reaction with mercaptans and amines, resp. A number of the quinazolines showed fungicidal activity.

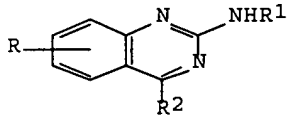
IT 83529-97-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 83529-97-9 CAPLUS

CN Quinazoline, 4-[(1-oxido-2-pyridinyl)thio]- (9CI) (CA INDEX NAME)



L13 ANSWER 16 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1981:57955 CAPLUS Full-text
DOCUMENT NUMBER: 94:57955
TITLE: Synthesis and antimalarial effects of N2-aryl-N4-[(dialkylamino)alkyl]- and N4-aryl-N2-[(dialkylamino)alkyl]-2,4-quinazolinediamines
AUTHOR(S): Elslager, Edward F.; Hess, Carolyn; Johnson, Judith; Ortwine, Daniel; Chu, Vera; Werbel, Leslie M.
CORPORATE SOURCE: Pharm. Res. Div., Warner-Lambert/Parke Davis, Ann Arbor, MI, 48106, USA
SOURCE: Journal of Medicinal Chemistry (1981), 24(2), 127-40
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 94:57955
GI



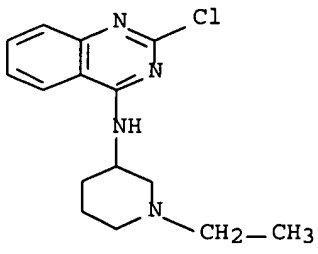
I

AB The title compds. I (R = H, Cl, NH2, NO2, etc.; R1 = substituted Ph, heterocyclic, or dialkylaminoalkyl; R2 = dialkylaminoalkyl, substituted heterocyclic, or substituted Ph) were prepared by stepwise reactions from either 2,4-dichloroquinazoline [607-68-1] or 2-chloro-4-quinazolinol [607-69-2], and tested in mice for antimalarial activity. N2-(3,4-Dichlorophenyl)-N4-[2-(1-methyl-2-pyrrolidinyl)ethyl]-2,4- quinazolinediamine-2HCl [76004-48-3] was among the more active compds. Structure-activity relations are discussed.

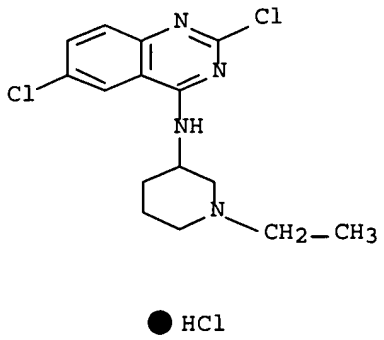
IT 76004-33-6P 76004-39-2P 76004-40-5P
76004-41-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and condensation with arylamine)

RN 76004-33-6 CAPLUS

CN 4-Quinazolinamine, 2-chloro-N-(1-ethyl-3-piperidinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

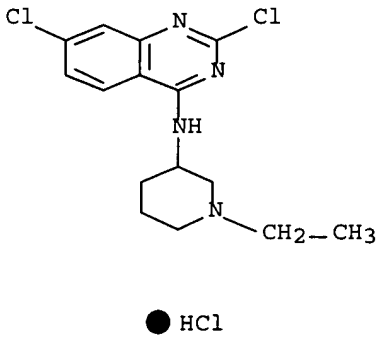


RN 76004-39-2 CAPLUS
CN 4-Quinazolinamine, 2,6-dichloro-N-(1-ethyl-3-piperidinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



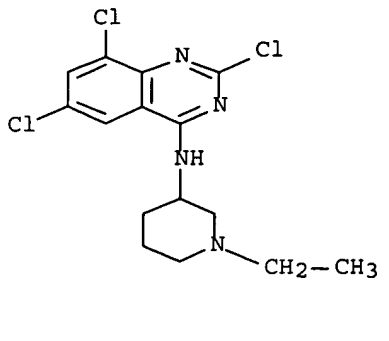
● HCl

RN 76004-40-5 CAPLUS
CN 4-Quinazolinamine, 2,7-dichloro-N-(1-ethyl-3-piperidinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 76004-41-6 CAPLUS
CN 4-Quinazolinamine, 2,6,8-trichloro-N-(1-ethyl-3-piperidinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

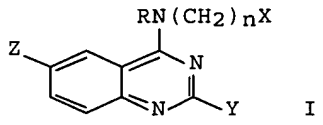


● HCl

L13 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1979:198861 CAPLUS Full-text
DOCUMENT NUMBER: 90:198861
TITLE: Aminoquinazolines as microbiocides
INVENTOR(S): Nakagami, Kazuto; Yokoi, Shinji; Nishimura, Kenji; Nagai, Shigeki; Honda, Takeo; Oda, Kiroku; Fujii, Katsutoshi; Kobayashi, Ryuji; Kojima, Mikio
PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

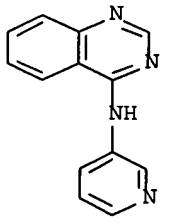
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 54002327	A2	19790109	JP 1977-67033	19770607

PRIORITY APPLN. INFO.: JP 1977-67033 19770607
GI



I

AB Aminoquinazolines I(R = H or alkyl; X = 2-tetrahydrofuryl, pyridyl, pyrrolidinyl, etc.; Y and Z = H or halo; n = 1 or 2) are microbiocides. Synthesis of I is given. Thus, 500 ppm 6-chloro-4- furfurylaminoquinazoline [70128-50-6] controlled Cochliobolus miyabeanus infection in rice.
IT 70128-59-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and microbiocidal activity of)
RN 70128-59-5 CAPLUS
CN 4-Quinazolinamine, N-3-pyridinyl- (9CI) (CA INDEX NAME)



L13 ANSWER 18 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1970:90502 CAPLUS Full-text
DOCUMENT NUMBER: 72:90502
TITLE: Stimulant and antidepressant 4-(substituted amino) quinazolines
INVENTOR(S): Hardtmann, Goetz E.; Ott, Hans
PATENT ASSIGNEE(S): Sandoz Ltd.
SOURCE: U.S., 3 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3470182	A	19690930	US 1967-614813	19670209

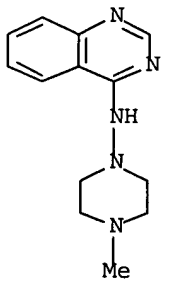
PRIORITY APPLN. INFO.: US 1967-614813 19670209

GI For diagram(s), see printed CA Issue.

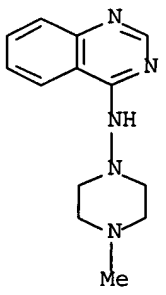
AB 4-Amino-substituted quinazolines (I) are synthesized and can be used as central nervous system stimulants and antidepressants. The compds. are prepared by reacting a 4-haloquinazoline with an appropriate amine at room or elevated temps. When a solvent is employed, it is preferably carried out in the presence of a tertiary amine, e.g. Et3N, to take up the HX liberated during the reaction. When the amine is used as solvent, then a sufficient excess is allowed to be present to react with the liberated HX. A representative formulation for oral administration is given as well as pharmaceutical data. Compds. I prepared were (R given): 4-methyl-1-piperazinyl, an oil, di-HCl salt m. 290-4°; 4-(β-hydroxyethyl)-1-piperazinyl, an oil, di-HCl salt, m. 241-43°; 4-phenyl-1-piperazinyl, an oil, di-HCl saltm. 225-30°; 1-methyl-4-piperidylamino, 179-81°; di-HCl salt m. 297-300°; [β-(2-pyridyl)ethyl]amino, m. 204-7°; 2-indanylamino, m. 204-7°; [β-(3-indolyl)ethyl]amino, m. 162-70° g.

IT 26731-89-5P 26731-90-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 26731-89-5 CAPLUS
CN Quinazoline, 4-[(4-methyl-1-piperazinyl)amino]- (8CI) (CA INDEX NAME)



RN 26731-90-8 CAPLUS
CN Quinazoline, 4-[(4-methyl-1-piperazinyl)amino]-, dihydrochloride (8CI) (CA INDEX NAME)



●2 HCl

=>